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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

Welcome to STN International NEWS Web Page URLs for STN Seminar Schedule - N. America NEWS 2 "Ask CAS" for self-help around the clock NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable NEWS JAN 27 A new search aid, the Company Name Thesaurus, available in CA/CAplus NEWS FEB 05 German (DE) application and patent publication number format changes NEWS MAR 03 MEDLINE and LMEDLINE reloaded 6 NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded NEWS 8 MAR 03 FRANCEPAT now available on STN Pharmaceutical Substances (PS) now available on STN NEWS 9 MAR 29 NEWS 10 MAR 29 WPIFV now available on STN NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004 NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA NEWS 13 APR 26 PROMT: New display field available NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field available NEWS 15 APR 26 LITALERT now available on STN NEWS 16 APR 27 NLDB: New search and display fields available NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004 NEWS HOURS STN Operating Hours Plus Help Desk Availability NEWS INTER General Internet Information NEWS LOGIN Welcome Banner and News Items NEWS PHONE Direct Dial and Telecommunication Network Access to STN

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CAS World Wide Web Site (general information)

FILE 'HOME' ENTERED AT 11:01:52 ON 28 APR 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL

NEWS WWW

10717238.5

Page 2

FULL ESTIMATED COST

ENTRY SESSION 0.42 0.42

FILE 'REGISTRY' ENTERED AT 11:03:14 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading c:\program files\stnexp\queries\10717238.5

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1

STR

G1 N, CH

G2 O,S,NH

G3 NH, NH2, Hy

Page 3 10717238.5

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 11:03:40 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

9 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE

TOTAL SESSION

FULL ESTIMATED COST

ENTRY 155.42

155.84

FILE 'MARPAT' ENTERED AT 11:03:48 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004

DE 10335606 11 MAR 2004

1403278 31 MAR 2004

JP 2004099560 02 APR 2004

WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full ·

FULL SEARCH INITIATED 11:03:53 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 1779 TO ITERATE

100.0% PROCESSED 1779 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.19

1 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE ENTRY SESSION

TOTAL

FULL ESTIMATED COST

109.42

265:26

FILE 'CAOLD' ENTERED AT 11:04:23 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

Patel

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:04:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED

27 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

L4

9 SEA SSS FUL L1

L5

0 L4

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.42 421.52

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:04:34 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18 FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

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L1
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L2
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L3
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L5
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     FILE 'CAPLUS' ENTERED AT 11:04:34 ON 28 APR 2004
=> s 12
L6
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=> s 13
L7
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=> d 16 fbib hitstr abs total
L6
     ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2002:256240 CAPLUS
DN
     136:279118
TI
     Preparation and use of amido-hydroxy-carboxylic acid integrin antagonists
     Rogers, Thomas; Penning, Thomas D.; Jiang, Lan; Devadas, Balekudru;
IN
     Ruminiski, Peter; Chester, Yuan; Vancamp, Jennifer
PA
     Pharmacia Corporation, USA
SO
     PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                     _ _ _ _
                            ______
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PΙ
    WO 2002026717
                       A2
                            20020404
                                           WO 2001-US30189 20010927
    WO 2002026717
                      C1
                            20021227
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
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US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

OS

TΤ

RN

CN

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                                       WO 2001-US30189W 20010927
US 2004024062
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                       20040205
                                       US 2003-381825
                                                        20030327
                                       WO 2001-US30189W 20010927
MARPAT 136:279118
406682-41-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (intermediate; preparation and use of amido-hydroxy-carboxylic acid integrin
   antagonists)
406682-41-5 CAPLUS
Benzamide, N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-
[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-,
bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
CM
     1
CRN
     406682-40-4
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Absolute stereochemistry.

C17 H21 N5 O5

CMF

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 406682-46-0

RL: RCT (Reactant); RACT (Reactant or reagent) (reactant; preparation and use of amido-hydroxy-carboxylic acid integrin antagonists)

RN 406682-46-0 CAPLUS

CN Benzamide, N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

GΙ

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl,

II

arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared For instance, (4S)-4-aminodihydro-2(3H) furanone hydrochloride. (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give intermediate lactone II isolated as the TFA salt. The desired hydroxy acid was obtained by hydrolysis and isolation at a final pH of approx. 8. Example compds. had IC50 = 0.1 nM - 100 nM for the $\alpha v\beta 3$ integrin and IC50 < $50\mu M$ for the $\alpha \nu \beta 5$ integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

```
ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
L6
ΑN
     2002:256040 CAPLUS
     136:279325
DN
     Preparation and use of amido-lactone integrin antagonists
TI
IN
     Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas;
     Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer
PA
     Pharmacia Corporation, USA
     PCT Int. Appl., 88 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN. CNT 1
     PATENT NO.
                         KIND DATE
                                                 APPLICATION NO.
                                                                     DATE
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               PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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                                                  US 2000-241633PP 20001010
     US 2002045645
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                                                                      20010926
     US 6720327
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                                20040413
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     EP 1320363
                          Α1
                                20030625
                                                  EP 2001-975450
                                                                     20010927
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               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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                                                  US 2000-241633PP 20001010
                                                  WO 2001-US30194W 20010927
     US 2004019206
                          Α1
                                20040129
                                                  US 2003-381831
                                                                     20030327
                                                  WO 2001-US30194W 20010927
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Patel <4/28/2004>

OS MARPAT 136:279325

IT 406682-40-4P 406682-41-5P 406682-46-0P 406703-18-2P 406703-27-3P 406703-30-8P 406703-31-9P 406703-35-3P 406703-36-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of amido-lactone integrin antagonists)

RN 406682-40-4 CAPLUS

CN Benzamide, N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 406682-41-5 CAPLUS

CN Benzamide, N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-,
bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406682-40-4 CMF C17 H21 N5 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

10717238.5

RN 406682-46-0 CAPLUS

CN Benzamide, N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 406703-18-2 CAPLUS

CN Benzamide, N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 406682-46-0 CMF C17 H21 N5 O4

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 406703-27-3 CAPLUS

CN Benzamide, 3-[(5-fluoro-1,4,5,6-tetrahydro-2-pyrimidinyl)amino]-N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 406703-30-8 CAPLUS

CN Benzamide, 3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 406703-31-9 CAPLUS

CN Benzamide, 3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 406703-30-8 CMF C16 H19 N5 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 406703-35-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 406703-36-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-3-furanyl]amino]ethyl]-5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-, trifluoroacetate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406703-35-3 CMF C16 H20 N6 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

GI

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

AB Title compds. I [X = NHC: YNR8R9, NHC: NR1NR8R9, etc.; Y = NR1, O, S: p, q =0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared For instance, (4S)-4-aminodihydro-2(3H) furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC50 = $0.1\ nM$ - $100\ nM$ for the $\alpha v\beta 3$ integrin and IC50 < 50 μM for the $\alpha v\beta 5$ integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

II

RE.CNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Patel . <4/28/2004>

```
=> d hnis
'HNIS' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
The following are valid formats:
ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
             SCAN must be entered on the same line as the DISPLAY,
             e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
             containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
             its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
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FHITSTR ---- First HIT RN, its text modification, its CA index name, and
             its structure diagram
FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs
To display a particular field or fields, enter the display field
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Patel <4/28/2004>

codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the

10717238.5 Page 15

information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number. ENTER DISPLAY FORMAT (BIB):end

=> d his

(FILE 'HOME' ENTERED AT 11:01:52 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 11:03:14 ON 28 APR 2004

L1 STRUCTURE UPLOADED

L2 9 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 11:03:48 ON 28 APR 2004 L3 1 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:04:23 ON 28 APR 2004 S L1

FILE 'REGISTRY' ENTERED AT 11:04:28 ON 28 APR 2004 L4 9 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:04:28 ON 28 APR 2004 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:04:34 ON 28 APR 2004

L6 2 S L2 L7 1 S L3

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L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:256040 CAPLUS

DN 136:279325

TI Preparation and use of amido-lactone integrin antagonists

IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas; Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.				KIND DATE			APPLICATION NO.					DATE				
PΙ	WO 2002026227			A1 2002040			0404		WO 2001-US30194					20010927			
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Patel <4/28/2004>

US 2000-235617PP 20000927 US 2000-241633PP 20001010 US 2002045645 A1 20020418 US 2001-963926 20010926 US 6720327 B2 20040413 US 2000-235617PP 20000927 US 2000-241633PP 20001019 EP 2001-975450 A1 20030625 20010927 EP 1320363 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2000-235617PP 20000927 US 2000-241633PP 20001010 WO 2001-US30194W 20010927 US 2003-381831 20030327 US 2004019206 Α1 20040129 WO 2001-US30194W 20010927 MARPAT 136:279325

OS

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$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = AB 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared For instance, (4S)-4-aminodihydro-2(3H) furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected

II

<4/28/2004>

Patel

10717238.5 Page 17

with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC50 = 0.1 nM - 100 nM for the $\alpha\nu\beta3$ integrin and IC50 < 50 μ M for the $\alpha\nu\beta5$ integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	12.93	434.45
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.08	-2.08

STN INTERNATIONAL LOGOFF AT 11:05:33 ON 28 APR 2004

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS
         JAN 27
                 Source of Registration (SR) information in REGISTRY updated
                 and searchable
NEWS
         JAN 27
                 A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
NEWS
      5
         FEB 05
                 German (DE) application and patent publication number format
                 changes
NEWS 6
         MAR 03
                MEDLINE and LMEDLINE reloaded
NEWS
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         MAR 03
                MEDLINE file segment of TOXCENTER reloaded
NEWS 8
        MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
                New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 12 MAR 29
NEWS 13 APR 26
                PROMT: New display field available
NEWS 14 APR 26
                 FIPAT/IFIUDB/IFICDB: New super search and display field
                 available
        APR 26
NEWS 15
                 LITALERT now available on STN
NEWS 16 APR 27
                NLDB: New search and display fields available
              MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
NEWS HOURS
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              CAS World Wide Web Site (general information)
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FILE 'HOME' ENTERED AT 10:19:33 ON 28 APR 2004

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 10:19:45 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10717238.1

L1 STRUCTURE UPLOADED

STR

=> d 11

L1

L1 HAS NO ANSWERS

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G1 N,CH

G2 O, S, NH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full FULL SEARCH INITIATED 10:20:09 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 14 TO ITERATE 100.0% PROCESSED 14 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

O SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY SESSION 155.42 155.63

FULL ESTIMATED COST

FILE 'MARPAT' ENTERED AT 10:20:16 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004

DE 10335606 11 MAR 2004

1403278 31 MAR 2004

JP 2004099560 02 APR 2004

WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s ll sss full

FULL SEARCH INITIATED 10:20:23 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 6715 TO ITERATE

66.8% PROCESSED 4485 ITERATIONS

0 ANSWERS

95.5% PROCESSED

6413 ITERATIONS

2 ANSWERS

100.0% PROCESSED 6715 ITERATIONS (2 INCOMPLETE)

4 ANSWERS

SEARCH TIME: 00.00.44

4 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 109.84

SESSION 265.47

FULL ESTIMATED COST

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent

Page 4

assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 10:21:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED

14 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L4

0 SEA SSS FUL L1

L5

0 L4

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY 0.42 SESSION 421.73

FILE 'CAPLUS' ENTERED AT 10:21:42 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18 FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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(FILE 'HOME' ENTERED AT 10:19:33 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 10:19:45 ON 28 APR 2004

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FILE 'MARPAT' ENTERED AT 10:20:16 ON 28 APR 2004 L3 4 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:21:28 ON 28 APR 2004 S L1

FILE 'REGISTRY' ENTERED AT 10:21:34 ON 28 APR 2004 L4 0 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:21:36 ON 28 APR 2004 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:21:42 ON 28 APR 2004

=> s 13

L6 4 L3

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:256040 CAPLUS

DN 136:279325

TI Preparation and use of amido-lactone integrin antagonists

IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas; Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 88 pp. CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

FAN CNT 1											
	PATENT NO.			DATE		APPLICATION NO. DATE	DATE				
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ΡI	WO 200	2002026227		20020404		WO 2001-US30194 20010927					
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						, IE, IT, LU, MC, NL, PT, SE, TR, BF					
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US 2000-235617PP 20000927 US 2000-241633PP 20001010 WO 2001-US30194W 20010927 US 2003-381831 20030327

WO 2001-US30194W 20010927

US 2004019206 A1 20040129

MARPAT 136:279325

OS GI

HO
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 $\stackrel{\text{H}}{\longrightarrow}$ $\stackrel{\text{OH}}{\longrightarrow}$ $\stackrel{\text{H}}{\longrightarrow}$ $\stackrel{\text{O}}{\longrightarrow}$ $\stackrel{\text{O}}{\longrightarrow}$ $\stackrel{\text{O}}{\longrightarrow}$

Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, $q = \frac{1}{2}$ AΒ 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared For instance, (4S)-4-aminodihydro-2(3H) furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC50 = 0.1 nM - 100 nM for the $\alpha v\beta 3$ integrin and IC50 < $50\mu M$ for the $\alpha v\beta 5$ integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

II

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L6
     ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2001:338525 CAPLUS
DN
     134:353248
     Novel heterocyclic compounds and their use as medicines
ΤI
     Auvin, Serge; Chabrier De Lassauniere, Pierre-Etienne
ΙN
     Societe De Conseils De Recherches Et D'applications Scientifiques
, PA
     (S.C.R.A.S.), Fr.
SO
     PCT Int. Appl., 77 pp.
     CODEN: PIXXD2
DT
     Patent
     French
LΑ
FAN.CNT 1
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                      KIND
                            DATE
                                            APPLICATION NO.
                                                             DATE
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                                            FR 1999-13858 A 19991105
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     NO 2002002088
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                                                           A 20000523
                                            WO 2000-FR3067 W 20001103
OS
     MARPAT 134:353248
AB
     Novel heterocyclic derivs. which have calpain inhibiting and/or reactive
     oxygen species trapping activity (no data) are reported. Thus, (R)-Trolox
     was treated with (S)-2-aminobutyrolactone hydrochloride, followed by DIBAL
     reduction to give (2R)-6-hydroxy-N-[(3S)-2-hydroxytetrahydrofuran-3-yl]-
```

2,5,7,8-tetramethyl-3,4-dihydro-2H-chromene-2-carboxamide.

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

10717238.2

Page 8

AN 1998:197524 CAPLUS

DN 128:257704

TI Preparation of methionine, penicillamine and cysteine-analog containing peptides having immunomodulating activity

IN Bergstrand, Hakan; Eriksson, Tomas; Lindvall, Magnus; Sarnstrand, Bengt

PA Astra Aktiebolag, Swed.; Bergstrand, Hakan; Eriksson, Tomas; Lindvall, Magnus; Sarnstrand, Bengt

SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

GΊ

PAN.	PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡI	WO 9812219	A1 199803	 26 WO 1997-SE1554 19970915
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	PL,	PT, RO, RU, SD, SI	E, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
			M, AZ, BY, KG, KZ, MD, RU, TJ, TM Z, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
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	·		SE 1996-3468 A 19960923
	AU 9744063	A1 1998041	14 AU 1997-44063 19970915 SE 1996-3468 A 19960923
	73 0500450		WO 1997-SE1554 W 19970915
	ZA 9708472	A 1998032	23 ZA 1997-8472 19970919 SE 1996-3468 A 19960923
OS	MARPAT 128:2	257704	

$$Q = N \qquad \qquad \begin{array}{c} H & || \\ N & || \\ R^5 & || \\ R^6 & (CH_2)_{1}R^7 \end{array}$$

$$Q^{1} = (\bigcirc)_{m}$$

Physiol. active peptides A-R1-R2-R3-(R4)x-B [A = H, protective group, amino acid residue; R1 = Gly, Pro, Asp, Arg, Ala, Ile, Trp, Ser, Cys, Glu, Asn, R8; R2 = Cys, Pro, Ile, Ala, Tyr, Thr, Arg, pipecolic acid, R8; R3 = Cys, R8; R4 = Gly, Phe, Val, Ile, Lys, Pro, Trp, Tyr, Glu, Leu, Met; R5, R6 = independently H, alkyl, alkoxy, aryl; R7 = SOH, SO2H, SO3H, SR9,

Ι

SeR9, TeR9; R8 = residue Q, Q1; R9 = H, alkyl, alkoxy, aryl, SR10, SOR10, SO2R10; R10 = H, alkyl, alkoxy; B = OH, NH2, protected O, protected N, amino acid residue; n = 0-4; m = 0-4; m = 0-4; with provisos; the entire peptide contains 3-30 amino acid residues] and salts and homo- and heterodimers thereof are described as compds. for use in therapy as immunomodulatory agents. These peptides are absorbable by the epithelial cell lining in a mammal resulting in a modulated immune response and thereby a therapeutic effect against disease. Thus, a variety of cysteine analog peptides, e.g. I, were prepared by solid-phase methods and tested for immunomodulatory activity in a delayed type hypersensitivity test in mice.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L6
    ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN
    1998:197519 CAPLUS
DN
    128:257699
    Preparation of cysteine analog peptides having immunomodulatory effects
ΤI
    Bergstrand, Hakan; Eriksson, Tomas; Lindvall, Magnus; Sarnstrand, Bengt
IN
PΑ
    Astra Aktiebolag, Swed.; Bergstrand, Hakan; Eriksson, Tomas; Lindvall,
    Magnus; Sarnstrand, Bengt
SO
    PCT Int. Appl., 81 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                        APPLICATION NO. DATE
                                         ------
PΙ
    WO 9812214
                    A1 19980326
                                        WO 1997-SE1548
                                                         19970915
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR,
            KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
```

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

SE 1996-3461 19960923

US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 9744059 A1 19980414 AU 1997-44059 19970915 SE 1996-3461 19960923 WO 1997-SE1548 19970915

OS MARPAT 128:257699

GΙ

$$Q = \begin{pmatrix} H & 0 \\ N & 1 \\ R5 & (CH_2)_{nR} \end{pmatrix}$$

$$Q^1 = \begin{pmatrix} M & 0 \\ M & (CH_2)_{nR} \end{pmatrix}$$

Physiol. active peptides A-R1-R2-R3-(R4)x-B [A = H, protective group, AB amino acid residue; R1 = Gly, Pro, Asp, Arg, Ala, Ile, Trp, Ser, Cys, Glu, Asn, R8; R2 = Cys, Pro, Ile, Ala, Tyr, Thr, Arg, pipecolic acid, R8; R3 = Cys, R8; R4 = Gly, Phe, Val, Ile, Pro, Trp, Tyr, Glu, Lys, Leu, Met; R5, R6 = independently H, alkyl, alkoxy, aryl; R7 = SOH, SO2H, SO3H, SR9, SeR9, TeR9; R8 = residue Q, Q1; R9 = H, alkyl, alkoxy, aryl, SR10, SOR10, SO2R10; R10 = H, alkyl, alkoxy; B = OH, NH2, protected O, protected N, amino acid residue; n = 0-4; m = 0-4; x = 0-1; with the provisos that at least one of R1-R3 = R8 and at most one of R1-R3 = Cys; the entire peptide contains 3-30 amino acid residues] and salts and homo- and heterodimers thereof are described as compds. for use in therapy as immunomodulatory agents. These peptides are absorbable by the epithelial cell lining in a mammal resulting in a modulated immune response and thereby a therapeutic effect against disease. Thus, a variety of cysteine analog peptides, e.g. I, were prepared by solid-phase methods and tested for immunomodulatory activity in a delayed type hypersensitivity test in mice.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST	ENTRY 11.04	SESSION 432.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -2.77	SESSION -2.77

STN INTERNATIONAL LOGOFF AT 10:22:38 ON 28 APR 2004

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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         JAN 27
                 and searchable
NEWS
         JAN 27
                 A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
NEWS 5
         FEB 05
                 German (DE) application and patent publication number format
                 changes
NEWS 6
         MAR 03
                 MEDLINE and LMEDLINE reloaded
     7
NEWS
         MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8
        MAR 03
                 FRANCEPAT now available on STN
NEWS 9 MAR 29
                 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29
                 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26
                 PROMT: New display field available
NEWS 14 APR 26
                 FIPAT/IFIUDB/IFICDB: New super search and display field
                 available
NEWS 15
        APR 26
                LITALERT now available on STN
NEWS 16 APR 27 NLDB: New search and display fields available
NEWS EXPRESS
             MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
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              General Internet Information
NEWS LOGIN
              Welcome Banner and News Items
NEWS PHONE
              Direct Dial and Telecommunication Network Access to STN
NEWS WWW
              CAS World Wide Web Site (general information)
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=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL

10717238.6

Page 2

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

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STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading c:\program files\stnexp\queries\10717238.6

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

STR

G1 N,CH

G2 O,S,NH

G3 NH, NH2, Hy

Page 3

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 11:08:51 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED

14 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

0 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE ENTRY SESSION

FULL ESTIMATED COST

155.42

155.63

TOTAL

FILE 'MARPAT' ENTERED AT 11:08:57 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004

10335606 11 MAR 2004 DE

1403278 31 MAR 2004

JP 2004099560 02 APR 2004

WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s ll sss full

FULL SEARCH INITIATED 11:09:08 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 2361 TO ITERATE

99.7% PROCESSED 2353 ITERATIONS

1 ANSWERS

100.0% PROCESSED 2361 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.26

1 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE ENTRY

TOTAL SESSION

FULL ESTIMATED COST

109.84

265.47

FILE 'CAOLD' ENTERED AT 11:10:20 ON 28 APR 2004

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Page 4

FILE COVERS 1907-1966

10717238.6

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Reqistry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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=> s l1 sss full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:10:27 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -14 TO ITERATE

0 SEA SSS FUL L1

100.0% PROCESSED

14 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L50 L4

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.42 421.73

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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18 FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> d his
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(FILE 'HOME' ENTERED AT 11:07:41 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 11:08:16 ON 28 APR 2004

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 11:08:57 ON 28 APR 2004 L3 1 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:10:20 ON 28 APR 2004 S L1

FILE 'REGISTRY' ENTERED AT 11:10:26 ON 28 APR 2004 L4 0 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:10:27 ON 28 APR 2004 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:10:31 ON 28 APR 2004

=> s 13

L6 1 L3

=> d l6 fbib hitstr abs total

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:256040 CAPLUS

DN 136:279325

TI Preparation and use of amido-lactone integrin antagonists

IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas; Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 88 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.				KIND DATE				APPLICATION NO.					DATE			
ΡI	WO 2002026227			A1 20020404				WO 2001-US30194					20010927				
	W:	AE	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LT,														
			RO,														UG,
		US	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM	
	RV	: GH															
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		BJ	CF,	CG,	.CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
									U:	5 20	00-2	3561	7PP	2000	3927		
										~ ^ ^							

US 2000-241633PP 20001010

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Page 6

US 2002045645 Α1 20020418 US 2001-963926 20010926 US 6720327 B2 20040413 US 2000-235617PP 20000927 US 2000-241633PP 20001019 EP 1320363 A1 20030625 EP 2001-975450 20010927 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2000-235617PP 20000927 US 2000-241633PP 20001010 WO 2001-US30194W 20010927 US 2004019206 A1 20040129 US 2003-381831 20030327 WO 2001-US30194W 20010927

OS MARPAT 136:279325

GΙ

AB Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = NR1, O,0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkylcarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared For instance, (4S)-4-aminodihydro-2(3H) furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC50 = 0.1 nM - 100 nM for the $\alpha v\beta 3$ integrin and IC50 < 50 μ M for the $\alpha v\beta 5$

II

10717238.6

Page 7

integrin. I are useful for the treatment of tumor metastasis, solid tumor
growth, macular degeneration, etc.
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 2.98 424.71 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -0.69 -0.69

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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                 "Ask CAS" for self-help around the clock
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     - 2
NEWS
     3 JAN 27 Source of Registration (SR) information in REGISTRY updated
                 and searchable
    4 JAN 27 A new search aid, the Company Name Thesaurus, available in
NEWS
                 CA/CAplus
NEWS 5 FEB 05
                German (DE) application and patent publication number format
                 changes
NEWS 6 MAR 03 MEDLINE and LMEDLINE reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26 PROMT: New display field available
NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field
                 available
NEWS 15
        APR 26
                LITALERT now available on STN
NEWS 16 APR 27 NLDB: New search and display fields available
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
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=> file reg

Patel

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FULL ESTIMATED COST

NTRY SESSION 0.21 0.21

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STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading c:\program files\stnexp\queries\10717238.2

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

STR

G1 N, CH

G2 O, S, NH

G3 NH, NH2, Hy

Structure attributes must be viewed using STN Express query preparation.

Patel

=> s ll sss full

FULL SEARCH INITIATED 10:34:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3987 TO ITERATE

100.0% PROCESSED 3987 ITERATIONS

41 ANSWERS

SEARCH TIME: 00.00.01

L2 41 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 155.42 155.63

FULL ESTIMATED COST

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004

DE 10335606 11 MAR 2004

EP 1403278 31 MAR 2004

JP 2004099560 02 APR 2004

WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

FULL SEARCH INITIATED 10:34:30 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 48637 TO ITERATE

19.6%	PROCESSED	9528	ITERATIONS	(1 INCOMPLETE)	16 ANSWERS
37.5%	PROCESSED	18246	ITERATIONS	(1 INCOMPLETE)	26 ANSWERS
67.1%	PROCESSED	32622	ITERATIONS	(2 INCOMPLETE)	49 ANSWERS
77.8%	PROCESSED	37841	ITERATIONS	(2 INCOMPLETE)	55 ANSWERS
84.9%	PROCESSED .	41276	ITERATIONS	(2 INCOMPLETE)	63 ANSWERS
92.1%	PROCESSED	44808	ITERATIONS	(3 INCOMPLETE)	65 ANSWERS
94.6%	PROCESSED	45988	ITERATIONS	(3 INCOMPLETE)	 66 ANSWERS
97.9%	PROCESSED	47636	ITERATIONS	(3 INCOMPLETE)	71 ANSWERS
	PROCESSED TIME: 00.02		ITERATIONS	(3 INCOMPLETE)	71 ANSWERS

Page 4

10717238.3

L3 71 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

111.52 267.15

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 11 sss full

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 10:38:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3987 TO ITERATE

100.0% PROCESSED 3987 ITERATIONS

41 ANSWERS

TOTAL

SEARCH TIME: 00.00.01

41 SEA SSS FUL L1

L5 0 L4

T.4

=> file caplus

COST IN U.S. DOLLARS SINCE FILE ENTRY

ENTRY SESSION 0.42 423.41

FULL ESTIMATED COST

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

10717238.3

(FILE 'HOME' ENTERED AT 10:33:40 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 10:33:51 ON 28 APR 2004

L1 STRUCTURE UPLOADED

L2 41 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 10:34:24 ON 28 APR 2004 L3 71 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:37:55 ON 28 APR 2004

FILE 'REGISTRY' ENTERED AT 10:38:02 ON 28 APR 2004 L4 41 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:38:03 ON 28 APR 2004 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:38:08 ON 28 APR 2004

=> s 12

L6 15 L2

=> s · 13

L7 71 L3

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L6 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:256040 CAPLUS

DN 136:279325

TI Preparation and use of amido-lactone integrin antagonists

IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas; Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 88 pp. CODEN: PIXXD2

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Patent
LА
      English
FAN.CNT 1
      PATENT NO.
                             KIND
                                     DATE
                                                          APPLICATION NO.
                                                                                 DATE
                             ____
                                                          _____
                                     20020404
                                                        WO 2001-US30194
                                                                                 20010927
PI
      WO 2002026227
                              A1
                 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
           CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                          US 2000-235617PP 20000927
                                                          US 2000-241633PP 20001010
      US 2002045.645
                                     20020418
                                                          US 2001-963926
                                                                                 20010926
                              A1
      US 6720327
                               B2
                                     20040413
                                                          US 2000-235617PP 20000927
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      EP 1320363
                                     20030625
                                                          EP 2001-975450
                                                                                 20010927
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                 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                                          WO 2001-US30194W 20010927
      US 2004019206
                              A1
                                     20040129
                                                          US 2003-381831
                                                                                 20030327
                                                          WO 2001-US30194W 20010927
OS
      MARPAT 136:279325
IT
      406703-74-0 406703-76-2
      RL: RCT (Reactant); RACT (Reactant or reagent)
           (reactant; preparation and use of amido-lactone integrin antagonists)
RN
      406703-74-0 CAPLUS
      Glycine, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]benzoyl]- (9CI)
CN
      INDEX NAME)
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N & & \\
N & & \\
\end{array}$$

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\end{array}$$

RN 406703-76-2 CAPLUS

CN Glycine, N-[[5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

GΙ

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

AΒ Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared For instance, (4S)-4-aminodihydro-2(3H) furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC50 = 0.1 nM - 100 nM for

ΙI

<4/28/2004>

the $\alpha v \beta 3$ integrin and IC50 < $50 \mu M$ for the $\alpha v \beta 5$ integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
L6
     2001:521916 CAPLUS
AN
     135:107152
DN
TI
     Preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists
    Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony
     Joseph; Hertzberg, Robert Philip; Rutledge, Melvin Clarence, Jr.
     Smithkline Beecham Corp., USA
PA
     U.S., 51 pp., Cont.-in-part of U.S. 58,86,044.
SO
     CODEN: USXXAM
DT
     Patent
     English
LA
FAN.CNT 5
                           DATE
                                           APPLICATION NO. DATE
     PATENT NO.
                     KIND
                            20010717
                                           US 1998-125279
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    US 6262113
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                                           US 1996-641990 A219960320
                                           WO 1996-US13632W 19960821
    US 5886044
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                            19990323
                                           US 1996-641990 19960320
                                           US 1995-390260 B219950217
    WO 9729743
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                                           US 1998-125279 A319980814
PATENT FAMILY INFORMATION:
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     PATENT NO.
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                            DATE
                                           APPLICATION NO.
                                                            DATE
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    WO 9625157
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                                           WO 1996-US2260 W 19960216
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CA 1996-2432662 19960821

WO 1996-US2260 A 19960216 CA 1996-2245927A319960821

WO 1996-US13632 19960821

Patel <4/28/2004>

A1

19970821

19970821

W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG,

CA 2432662

WO 9729743

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	US	6211	373		. В.		20010	0403		U: U: W(5 199 5 199 0 199	98-13 95-39 96-U	11663 90260 82260	3 0 B1 0 W	19980 19950 19960	0708 0217 0216			
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FAN	1998:479029 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 5780483	A .	19980714	US 1996-701299 19960821
				US 1995-390260 B219950217
				US 1996-641990 A219960320
	US 5886044	Α	19990323	US 1996-641990 19960320
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	US 6211373	В1	20010403	US 1998-111663 19980708
			•	US 1995-390260 B119950217
				WO 1996-US2260 W 19960216
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				US 1996-701299 A319960821
FAN	1999:205323			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 5886044	A	19990323	US 1996-641990 19960320
				US 1995-390260 B219950217
	US 5780483	Α	19980714	us 1996-701299 19960821
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				US 1996-641990 A319960320
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	US 6262113	B1	20010717	US 1998-125279 19980814
	·		•	US 1996-641990 A219960320
	*** 6100675	D 1	00010100	WO 1996-US13632W 19960821
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				US 1995-390260 B219950217
			•	WO 1996-US2260 A219960216
				US 1996-641990 A319960320

OS MARPAT 135:107152

IT 182499-00-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists)

RN 182499-00-9 CAPLUS

CN Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl]- (9CI) (CA INDEX NAME)

GΙ

$$\begin{bmatrix} \mathbf{Y} \end{bmatrix}_{\mathbf{n}} \begin{bmatrix} \mathbf{X} \\ \mathbf{H} \end{bmatrix}_{\mathbf{H}} \begin{bmatrix} \mathbf{R} \mathbf{1} \end{bmatrix}_{\mathbf{m}} \begin{bmatrix} \mathbf{R} \mathbf{1} \end{bmatrix}_{\mathbf{m}}$$

AB The title compds. [I; X = 0; X1 = 0, S; R1 = H, halo, NO2, etc.; two R1moieties together may form O(CH2)sO, 5-6 membered unsatd. ring; s = 1-3; Y = H, halo, NO2, etc.; two Y moieties together may form O(CH2)sO, 5-6 membered unsatd. ring; n, m = 1-3], useful for treating a chemokine mediated disease, wherein the chemokine is one which binds to an IL-8 α or β receptor, were prepared Thus, reacting Me 4-amino-3-hydroxybenzoate with Ph isocyanate afforded 90% I [X = O; R = OH; R1 = 4-CO2Me; m = 1; Y = H]. All of the exemplified compds. I showed an IC50 from about 45 to about < 1 $\mu g/mL$ against IL-8 receptor binding. All of these compds. were also found to be inhibitors of $\text{Gro-}\alpha$ binding at about the same level.

RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6
    ANSWER 3 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 2000:900438 CAPLUS

DN134:56482

Preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists TI

IN Benson, Gregory Martin; Hertzberg, Robert P.; Jurewicz, Anthony J.; Rutledge, Melvin Clarence; Veber, Daniel F.; Widdowson, Katherine L.

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DTPatent

LA English

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															1999			
										W	20	00-U	S164:	99W	2000	0615		

AU	766083	B2	20031009	ΑU	2000-57413	20000615
	•			US	1999-139675PP	19990616
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NO	2001006053	Α	20011211	NO	2001-6053	20011211
				US	1999-139675PP	19990616
				WO	2000-HS16499W	20000615

OS MARPAT 134:56482

IT 182499-00-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists)

RN 182499-00-9 CAPLUS

$$\begin{array}{c|c} \text{Br} & \text{O} & \text{OH} & \text{O} \\ \hline & \text{O} & \text{OH} & \text{C-NH-CH}_2\text{--CO}_2\text{H} \\ \hline & \text{NH-C-NH-CH}_2\text{--CO}_2\text{H} \\ \end{array}$$

GI

$$\begin{array}{c|c} Y_n & & & \\ & X & & \\ N & & N \\ H & & H \end{array}$$

AB The title compds. [I; X = O, S; R = any functional moiety having an ionizable H and pKa of \leq 10; R1 = H, halo, NO2, etc.; two R1 moieties together may form O(CH2)sO, 5-6 membered unsatd. ring; s = 1-3; Y = H, halo, NO2, etc.; two Y moieties together may form O(CH2)sO, 5-6 membered unsatd. ring; n, m = 1-3], useful for treating a chemokine mediated disease, wherein the chemokine is one which binds to an IL-8 α or β receptor, were prepared Thus, reacting Me 4-amino-3-hydroxybenzoate with Ph isocyanate afforded 90% I [X = O; R = OH; R1 = 4-CO2Me; m = 1; Y = H]. All of the exemplified compds. I showed an IC50 from about 45 to about < 1 μg/mL against IL-8 receptor binding. All of these compds. were also found to be inhibitors of Gro-α binding at about the same level.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:335391 CAPLUS

DN 132:347569

```
TI
     Preparation gastrin and cholecystokinin receptor ligands
IN
     Kalindjian, Sarkis Barret; Buck, Ildiko Maria; Linney, Ian Duncan; Wright,
     Paul Trevor; McDonald, Iain Mair; Steel, Katherine Isobel Mary; Hull,
     Robert Antony David; Roberts, Sonia Patricia; Gaffen, John David; Vinter,
     Jeremy Gilbert; Walker, Martin Keith; Black, James Whyte; Watt, Gillian
     Fairfull; Harper, Elaine Anne; Shankley, Nigel Paul; Tozer, Matthew John;
     Dunstone, David John; Pether, Michael John; Lilley, Elliot James; Sykes,
     David Andrew; Low, Caroline Minli Rachel; Griffin, Eric Peter; Wright,
     Laurence
PΑ
     James Black Foundation Limited, UK
SO
     PCT Int. Appl., 210 pp.
     CODEN: PIXXD2
DT
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LA
     English
FAN.CNT 1
     PATENT NO.
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                                                             DATE
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     WO 2000027823
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                                           WO 1999-GB3733 W 19991109
OS
    MARPAT 132:347569
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269070-25-9P 269074-93-3P

IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation gastrin and cholecystokinin receptor ligands)

RN 269070-25-9 CAPLUS

CN Glycine, N-[3-[[[2-cyclohexyl-5-(2-tricyclo[3.3.1.13,7]dec-1-ylethyl)-1H-imidazol-4-yl]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

RN 269074-93-3 CAPLUS

CN Glycine, N-[3-[[[2-cyclohexyl-5-(2-tricyclo[3.3.1.13,7]dec-1-ylethyl)-1H-imidazol-4-yl]carbonyl]amino]benzoyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 269070-25-9 CMF C31 H40 N4 O4

$$\begin{array}{c} H \\ N \\ O = C \\ NH \\ \\ HO_2C - CH_2 - NH - C \\ \parallel \\ O \\ \end{array}$$

CM 2

CRN 6284-40-8 CMF C7 H17 N O5

Absolute stereochemistry.

GΙ

$$CO_2H$$
 $CO-NH$
 $CO-NH$
 CO_2H
 $CO-NH$
 CO_2H
 C

AB Title compds. (I) [wherein X and Y = independently N, N(R5), CH, S, or O; n = 1-4; Z = (NR7) aCO(NR8) b, CONR7CH2CONR8, CO2, CH2CH2, CH=CH, CH2N(R8), or a bond; a and b = independently 0 or 1; Q = R9V (un)substituted phenyl(alkyl); V = CONHSO2Ph, SO2NHCOPh, CH2OH, etc.; R1 = H or (halo)hydrocarbyl where \leq 3 C atoms may be replaced by N, O, and/or S atoms; R2 = H, Me, Et, Pr, or OH; R3 = H, Me, Et, or Pr; or 2 adjacent R3 groups form a carbocyclic ring when n > 1; or R2 and R3 on the same C atom together = :0; R4 = (halo) hydrocarbyl where \leq 2 C atoms may be replaced by N, O, and/or S atoms; R5 = H, Me, Et, Pr, benzyl, OH, or carboxymethyl (esters); R7 and R8 = independently H, Me, Et, Pr, or benzyl; R9 = CH2, CH2CH2, or (un) substituted phenylmethylene; or R8 and R9, together with the adjacent N, form a substituted piperidine or pyrrolidine] and their pharmaceutically acceptable salts were prepared Examples include syntheses and biol. data for 314 compds. Thus, 2-adamantan-1-ylmethyl-5-phenyl-1H-pyrrole-3-carboxylic acid (3-step preparation given) was coupled with 5-aminoisophthalic acid dibenzyl ester (45%), followed by deprotection (98%) to give II. II had pKi of 6.72 for binding at the CCKB mouse cortical membranes and pKb of 6.33 for qastrin antagonist activity.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1999:672798 CAPLUS
- DN 131:299691
- TI Preparation of heterocyclic glycyl β -alanine derivatives as vitronectin antagonists
- IN Chandrakumar, Nizal Samuel; Desai, Bipinchandra Nanubhai; Devadas, Balekudru; Huff, Renee; Khanna, Ish K.; Rao, Shashidhar N.; Rico, Joseph

<4/28/2004>

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G.; Rogers, Thomas E.; Ruminski, Peter G.; Russell, Mark Andrew; Yu, Yi;
     Gasiecki, Alan Frank; Malecha, James W.; Miyashiro, Julie M.
     G.D. Searle and Co., USA
PA
SO
     PCT Int. Appl., 269 pp.
     CODEN: PIXXD2
DΤ
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LΑ
     English
FAN.CNT 1
     PATENT NO.
                       KIND
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                                             APPLICATION NO.
                                                               DATE
PI
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                                             NO 2000-5084
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                                                               20001009
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OS
     MARPAT 131:299691
IT
     247101-76-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
         (preparation of heterocyclic glycyl \beta-alanine derivs. as vitronectin
        antagonists)
     247101-76-4 CAPLUS
RN
     Glycine, N-[[1,6-dihydro-6-oxo-5-[(1,4,5,6-tetrahydro-5-hydroxy-2-
```

pyrimidinyl)amino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Tile compds. A(CY3Z3)t-Het-CO-V-(CYZ)n-CONR11CHR1(CH2)pCOR [Het = AΒ (un) substituted 5-8 membered monocyclic heterocyclic ring containing 1-4 heteroatoms selected from O, N, or S, optionally unsatd. and linked to (CY3Z3)t and CO at the 1- and 3-positions; A = NR5C(:Y1)NR7R8, NR5C(:NR7)Y2, or N:C(NR2R5)(NR7R8), where Y1 = NR2, O, S; R2, R7, R8 = H, alkyl, aryl, amino, etc. or R2 and R8 taken together form an (un) substituted dinitrogen heterocycle; R5 = H, alkyl, alkenyl, alkynyl, benzyl, phenethyl; and Y2 = alkyl, cycloalkyl, bicycloalkyl, aryl, etc.; V = NR6, where R6 = H, alkyl, cycloalkyl, aralkyl, aryl, monocyclic heterocyclyl or R6 together with Y forms a mono-nitrogen-containing ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl or Y and Z together or Y3 and Z3 together form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = X-R3, where X = 1-3O, S, or NR4 and R3 and R4 = H, alkyl, sugars, steroids, etc.; R1 = H, alkyl, alkenyl, alkynyl, aryl, etc.] or their pharmaceutically acceptable salts were prepared as vitronectin antagonists. Thus, 5-[(aminoiminomethyl)amino]-N-[2-[[2-carboxy-1-(3-bromo-5-chloro-2hydroxyphenyl)ethyl]amino]-2-oxoethyl]-3-pyridinecarboxamide bis(trifluoroacetate) was prepared and showed IC50 = 1.58 nM for inhibition of human vitronectin receptor $(\alpha v\beta 3)$.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:205323 CAPLUS

DN 130:267221

TI Preparation of phenylureas as IL-8 receptor antagonists

IN Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony Joseph; Hertzberg, Robert Phillip; Rutledge, Melvin Clarence, Jr.

PA Smithkline Beecham Corporation, USA

SO U.S., 43 pp., Cont.-in-part of U.S. Ser. No. 390,260, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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US 5780483	Α	19980714	US 1996-701299 19960821
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			US 1996-641990 A219960320
US 6211373	В1	20010403	US 1998-111663 19980708
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PI	WO	9625157 W: JP		A1	19960822		WO 1996-US2260 19960216	
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	WO	KP SI RW: KE IE	, AM, , KR, , SK, , LS,	AU, BB LK, LR TR, TT MW, SD	, LT, LV, , UA, US, , SZ, UG, , NL, PT,	CA, MD, UZ, AT,		
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	BR	9612779		A	20001024		WO 1996-US13632W 19960821 BR 1996-12779 19960821 WO 1996-US2260 A 19960216 WO 1996-US13632W 19960821	

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	US	60050	08		А		19991	1221		US	19:	97-89	94291	l	19970	0815			
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										US	19	95-39	90260) B1	19950	217			
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										บร	19	96-64	11990) A3	19960	0320			
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IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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WO 1996-US2260 A219960216

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OS MARPAT 130:267221

IT 182499-00-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylureas as IL-8 receptor antagonists)

RN 182499-00-9 CAPLUS

CN Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Br & O & OH & O\\ & \parallel & C-NH-CH_2-CO_2H \end{array}$$

GΙ

AB The title compds. [I; X = O, S; R = OH; R1 = H, halo, NO2, etc.; Y = H, halo, CN, etc.; n = 1-3; m = 1-3], useful in the treatment of disease states mediated by the chemokine, interleukin-8 (IL-8), such as psoriasis, atopic dermatitis, asthma, chronic obstructive pulmonary disease, ARDS, arthritis, inflammatory bowel disease, Crohn's disease, ulcerative colitis, septic shock, toxic shock syndrome, stroke, cardiac and renal reperfusion injury, restenosis, angiogenesis, glomerulonephritis, thrombosis, Alzheimer's disease, graft vs. host reaction, allograft rejection, etc., were prepared E.g., reaction of Me 4-amino-3-hydroxybenzoate with Ph isocyanate afforded 90% I [R = OH; R1 = 4-(MeOCO); Y = H; m = 1]. All exemplified compds. I showed IC50 from 45 to <1 μ/mL for IL-8 receptor inhibition. Compds. I were also found to be inhibitors of Gro-α binding at about the same level.

RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN AN 1998:479029 CAPLUS

Patel

<4/28/2004>

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DN
     129:122458
     Preparation of N,N'-diphenylurea derivatives as interleukin-8 receptor
TI
    Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony
IN
     Joseph; Hertzberg, Robert Philip; Rutledge, Melvin Clarence, Jr.
PA
     Smithkline Beecham Corporation, USA
     U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 641,990.
SO
     CODEN: USXXAM
DT
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FAN.CNT 5
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             SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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     AU 9669007
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ΡI	WO 9806262	A1	19980219		WO	1997-US14825	19970815			
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OS MARPAT 129:122458

IT 182499-00-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-diphenylurea derivs. as interleukin-8 receptor antagonists for disease treatment)

RN 182499-00-9 CAPLUS

Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl](9CI) (CA INDEX NAME)

GI

CN

AB The title compds. [I; X = O, S; R = any functional moiety having an ionizable H and a pKa of ≤10 (sic); R1, Y = H, halo, NO2, cyano, (halo)alkyl, alkenyl, (halo)alkoxy, N3, HO, hydroxyalkyl, aryl, arylalkyl, aryloxy, arylalkoxy, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylalkoxy, arylalkenyl, heteroarylalkenyl, (un)substituted NH2, CONH2, or SO3H, etc.; m, n = 1-3], which are useful for the treatment of disease states mediated by the chemokine, interleukin-8 (IL-8) (no data), are prepared Thus, Me 4-amino-3-hydroxybenzoate was added to a solution of Ph isocyanate in PhMe and the resulting mixture was stirred at .apprx.80° for 24-48 h to give 90% N-[2-hydroxy-4-(methoxycarbonyl)phenyl]-N'-phenylurea.

RE.CNT 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1998:402427 CAPLUS
- DN 129:81759
- TI Preparation and formulation of benzodiazepine derivatives as gastrin and cholecystokinin antagonists
- IN Shinozaki, Katsuo; Yoneta, Tomoyuki; Murata, Masakazu; Miura, Naoyoshi; Maeda, Kiyoto
- PA Zeria Pharmaceutical Co., Ltd., Japan; Shinozaki, Katsuo;; Yoneta, Tomoyuki;; Murata Masakazu;; Miura, Naoyoshi;; Maeda, Kiyoto;
- SO PCT Int. Appl., 432 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese
- FAN. CNT 1

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OS MARPAT 129:81759

KR 2000057506

IT 209218-58-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzodiazepine derivs. as gastrin and cholecystokinin antagonists)

RN 209218-58-6 CAPLUS

CN Glycine, N-[3-[[[[5-(2,2-dimethyl-1-oxopropyl)-2,3,4,5-tetrahydro-1-[2-(2-methylphenyl)-2-oxoethyl]-2-oxo-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

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Ι

$$\begin{array}{c|c}
(CH_2)_{n}-R^2 \\
0 \\
N \\
N \\
N \\
N \\
N \\
R^5
\end{array}$$
R4

AB The title compds. I [R1 represents hydrogen, lower alkyl, lower alkoxy or halogeno; R2 and R3 may be the same or different and each represents hydrogen, alkenyl, alkyl, Ph, acyl, etc.; and R4 and R5 may be the same or different and each represents hydrogen, alkyl, carboxyl, etc.; Ar = aromatic heterocycle, etc.; n = 0 or 2] are prepared. The compds. have an excellent gastrin and/or CCK-B receptor antagonism and are useful as remedies for gastric ulcer and gastrointestinal movement disorder. In an in vitro test for CCK-B receptor antagonism, the title compound (+)-II showed the Ki value of 1.16 nM. (+)-II at 1 mg/kg intraduodenally gave 81% inhibition of stomach acid secretion induced by pentagastrin 15 μg/kg/h in rats.

II

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:290093 CAPLUS

DN 126:264011

TI Preparation of meta-guanidine, urea, thiourea or azacyclic amino benzoic acid derivatives as integrin antagonists

IN Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard John; Rico, Joseph Gerace; Rogers, Thomas Edward; Russell, Mark Andrew; et al.

PA G.D. Searle and Co., USA; Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard, John

SO PCT Int. Appl., 930 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.

KIND DATE

APPLICATION NO. DATE

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HK	1021	532		A1	L.	2002	0208		H	(199	98-13	14666	5	1998:	L228			
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	US 6028223	A	20000222	US 1996-713555 19960827 US 1995-3277P P 19950830						
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	us 6013651	Α	20000111	US 1998-34758 19980304 US 1995-3277P P 19950830 US 1996-713555 A219960827						
os	MARPAT 126:2640	11	•	05 1550 /15555 A215500027						
IT	188812-13-7P 18		-OP 188812-36-	4P						
	188812-75-1P 18		·							
	188813-67-4P 18									
•	188813-74-3P 188813-98-1P 188814-01-9P									
	188814-42-8P 18									
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RAC									
	(Reactant or re		aration of mot	a-guanidino -ureido -thiouroido or						
	(intermediate; preparation of meta-guanidino, -ureido, -thioureido, or -azacyclic-amino benzoic acid derivs. as integrin antagonists)									
RN	188812-13-7 CA		medic actu det.	ivs. as incegiin ancagoniscs;						
CN			minomethvl\ami	no]benzoyl]-, monohydrochloride (9CI)						
011	(CA INDEX NAME)									

$$H_2N-C-NH$$
 $C-NH-CH_2-CO_2H$

(CA INDEX NAME)

HC1

RN 188812-32-0 CAPLUS

CN Glycine, N-[3-[(aminocarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

$$H_2N-C-NH$$
 $C-NH-CH_2-CO_2H$

RN 188812-36-4 CAPLUS

CN Glycine, N-[3-[[[(phenylmethyl)amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

$$Ph-CH_2-NH-C-NH$$
 $C-NH-CH_2-CO_2H$

RN 188812-75-1 CAPLUS

CN Glycine, N-[3-[(4,5-dihydro-2-thiazolyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

$$C-NH-CH_2-CO_2H$$

RN 188813-15-2 CAPLUS

CN Glycine, N-[3-[(3,4,5,6-tetrahydro-2H-azepin-7-yl)amino]benzoyl]- (9CI) (CA INDEX NAME)

$$C-NH-CH_2-CO_2H$$

RN 188813-65-2 CAPLUS

CN Glycine, N-[3-[[(4-pyridinylmethyl)amino]carbonyl]amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$CH_2-NH-C-NH$$
 $C-NH-CH_2-CO_2H$
 $C-NH-CH_2-CO_2H$

● HCl

RN 188813-67-4 CAPLUS

CN Glycine, N-[3-[[[(2-pyridinylmethyl)amino]carbonyl]amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 188813-70-9 CAPLUS

CN Glycine, N-[3-[[[(1-phenylethyl)amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

RN 188813-72-1 CAPLUS

CN Glycine, N-[3-[[(1H-benzimidazol-2-ylmethyl)amino]carbonyl]amino]benzoyl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 188813-74-3 CAPLUS

CN Glycine, N-[3-[[[[(3,5-dichlorophenyl)methyl]amino]carbonyl]amino]benzoyl]-

(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 188813-98-1 CAPLUS

CN Glycine, N-[3-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)

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RN 188814-01-9 CAPLUS

CN Glycine, N-[3-[(4-phenyl-1H-imidazol-2-yl)amino]benzoyl]- (9CI) (CA INDEX NAME)

Ph
$$N$$
 NH $C-NH-CH_2-CO_2H$ O

RN 188814-42-8 CAPLUS

CN Glycine, N-[3-[(1,4,5,6-tetrahydro-5,5-dimethyl-2-pyrimidinyl)amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{Me} & \\ N & \\ C - NH - CH_2 - CO_2H \\ \\ O & \\ \end{array}$$

HCl

RN 188814-74-6 CAPLUS

CN 1(4H)-Pyrimidinecarboxylic acid, 2-[[3-[[(carboxymethyl)amino]carbonyl]phe nyl]amino]-5,6-dihydro-, 1-phenyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 188814-73-5 CMF C20 H20 N4 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 188814-82-6 · CAPLUS

CN 1H-Imidazole-1-carboxylic acid, 2-[[3-[[(carboxymethyl)amino]carbonyl]phen yl]amino]-4,5-dihydro-, 1-ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HC1

GΙ

$$A = \begin{bmatrix} Y^3 \\ C \\ Z \end{bmatrix}_n N - CH - CH_2 COR$$

$$Z^1 = \begin{bmatrix} X^3 \\ Z \end{bmatrix}_n N - CH - CH_2 COR$$

The title compds. I [A = (un)substituted ureido, guanidino, etc. (generic structures given); Z1 = H, alkyl, OH, alkoxy, halo, (di)(alkyl)amino, aryl, etc.; V = NR6; R6 = H, alkyl, etc.; or YR6 forms a 4- to 12-membered mono-N-containing ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl; or YZ or Y3Z3 form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = XR3; X = 0, S, NH, etc.; R3 = H, alkyl, etc.; R1 = H, alkyl, alkenyl, etc.; R11 = H, alkyl, aralkyl, etc.] are prepared For example, m-nitrohippuric acid was subjected to a sequence of (1) amidation with Et 3-amino-3-(3-pyridyl)propanoate-2HCl; (2) hydrogenation of the nitro group; (3) reaction of the formed amine with benzyl isocyanate; and (4) alkaline saponification of the ester, to

II

Ι

give title compound II, isolated as the CF3CO2H or HCl salt. In an in vitro assay for antagonism of human vitronectin receptor ($\alpha V\beta 3$), the title compound II.HCl bound with an IC50 of 0.86 nM.

L6 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:643902 CAPLUS

DN 125:275430

TI Preparation of N,N'-diphenylurea derivatives as interleukin-8 receptor antagonists

IN Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony Joseph; Rutledge, Melvin Clarence, Jr.; Hertzberg, Robert Philip

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 116 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

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	IE, FI	,	,,		
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					WO 1997-US14825W 19970815
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	US 5886044	А	19990323		US 1995-390260 B219950217
	US 6211373	В1	20010403		
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•	•		•		WO 1996-US2260 W 19960216
		• •			US 1996-641990 A319960320
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FAN	1999:205323				
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,	US 5886044 US 5780483 US 6211373 US 6262113 US 6180675	A A B1	19990323 19980714 20010403		US 1996-641990 19960320 US 1995-390260 B219950217 US 1996-701299 19960821 US 1995-390260 B219950217 US 1996-641990 A219960320 US 1998-111663 19980708 US 1995-390260 B119950217 WO 1996-US2260 W 19960216 US 1996-641990 A319960320 US 1996-701299 A319960821 US 1998-125279 19980814 US 1998-US2260 W 19960320 WO 1996-US13632W 19960821 US 1999-240354 19990129 US 1995-390260 B219950217 WO 1996-US2260 A219960216
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,	US 5886044 US 5780483 US 6211373 US 6262113 US 6180675	A A B1 B1 KIND	19990323 19980714 20010403 20010717 20010130 DATE		US 1996-641990 19960320 US 1995-390260 B219950217 US 1996-701299 19960821 US 1995-390260 B219950217 US 1996-641990 A219960320 US 1998-111663 19980708 US 1995-390260 B119950217 WO 1996-US2260 W 19960216 US 1996-641990 A319960320 US 1996-701299 A319960821 US 1998-125279 19980814 US 1996-641990 A219960320 WO 1996-US13632W 19960821 US 1999-240354 19990129 US 1995-390260 B219950217 WO 1996-US2260 A219960216 US 1996-641990 A319960320 APPLICATION NO. DATE
FAN	US 5886044 US 5780483 US 6211373 US 6262113 US 6180675 2001:521916 PATENT NO. US 6262113	A A B1 B1 KIND	19990323 19980714 20010403 20010717 20010130 DATE		US 1996-641990 19960320 US 1995-390260 B219950217 US 1996-701299 19960821 US 1995-390260 B219950217 US 1996-641990 A219960320 US 1998-111663 19980708 US 1995-390260 B119950217 WO 1996-US2260 W 19960216 US 1996-641990 A319960320 US 1996-701299 A319960821 US 1998-125279 19980814 US 1996-641990 A219960320 WO 1996-US13632W 19960821 US 1999-240354 19990129 US 1995-390260 B219950217 WO 1996-US2260 A219960216 US 1996-641990 A319960320 APPLICATION NO. DATE
FAN	US 5886044 US 5780483 US 6211373 US 6262113 US 6180675 2001:521916 PATENT NO.	A A B1 B1 KIND	19990323 19980714 20010403 20010717 20010130 DATE		US 1996-641990 19960320 US 1995-390260 B219950217 US 1996-701299 19960821 US 1996-641990 A219960320 US 1998-111663 19980708 US 1995-390260 B119950217 WO 1996-US2260 W 19960216 US 1996-641990 A319960320 US 1996-701299 A319960821 US 1996-641990 A219960320 WO 1996-US13632W 19960821 US 1999-240354 19990129 US 1995-390260 B219950217 WO 1996-US2260 A219960216 US 1996-641990 A319960320 APPLICATION NO. DATE
FAN	US 5886044 US 5780483 US 6211373 US 6262113 US 6180675 2001:521916 PATENT NO. US 6262113	A A B1 B1 KIND B1	19990323 19980714 20010403 20010717 20010130 DATE		US 1996-641990 19960320 US 1995-390260 B219950217 US 1996-701299 19960821 US 1995-390260 B219950217 US 1996-641990 A219960320 US 1998-111663 19980708 US 1995-390260 B119950217 WO 1996-US2260 W 19960216 US 1996-641990 A319960320 US 1996-701299 A319960821 US 1998-125279 19980814 US 1996-641990 A219960320 WO 1996-US13632W 19960821 US 1999-240354 19990129 US 1995-390260 B219950217 WO 1996-US2260 A219960216 US 1996-641990 A319960320 APPLICATION NO. DATE

<4/28/2004>

W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

> WO 1996-US2260 A 19960216 WO 1996-US2260 A219960216 US 2001-871076 20010531

20020912 US 2002128321 A1

WO 1996-US13632W 19960821

US 1998-125279 A319980814

MARPAT 125:275430 OS

TΤ 182499-00-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-diphenylurea derivs. as interleukin-8 receptor antagonists for disease treatment)

182499-00-9 CAPLUS RN

Glycine, N-[3-[[[(2-bromophenyl)amino]carbonyl]amino]-2-hydroxybenzoyl]-CN (CA INDEX NAME)

GI

The title compds. [I; X = O, S; R = any functional moiety having an AΒ ionizable H and a pKa of ≤10; R1, Y = H, halo, NO2, cyano, C1-10 (halo)alkyl, C2-10 alkenyl, C1-10 (halo)alkoxy, N3, HO, C1-4 hydroxyalkyl, aryl, aryl-C1-4 alkyl, aryloxy, aryl-C1-4 alkoxy, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclyl-C1-4 alkyl, heterocyclyl-C1-4 alkoxy, aryl-C2-10 alkenyl, heteroaryl-C2-10 alkenyl, (un)substituted NH2, carbamoyl, or SO3H, etc.; m, n = 1-3], which are useful for the treatment of disease states mediated by the chemokine, interleukin-8 (IL-8) (no data), are prepared The chemokine-mediated disease is selected from psoriasis or atopic dermatitis, asthma, chronic obstructive pulmonary disease, adult respiratory distress syndrome, arthritis, inflammatory bowel disease, Crohn's disease, ulcerative colitis, septic shock, endotoxic shock, gram neg. sepsis, toxic shock syndrome, stroke, cardiac and renal reperfusion injury, glomerulo-nephritis, thrombosis, Alzheimer's disease, graft vs. host reaction, and allograft rejections. Thus, 1.19

<4/28/2004>

mmol Me 4-amino-3-hydroxybenzoate was added to a solution of 1.19 mmol Ph isocyanate in toluene and the resulting mixture was stirred at .apprx.80° for 24-48 h to give 90% N-[2-hydroxy-4-(methoxycarbonyl)phenyl]-N'-phenylurea.

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L6 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1996:365469 CAPLUS

DN 125:33692

TI Preparation of oxobenzodiazepinylureas as CCK and gastrin antagonists

IN Sato, Yoshinari; Sakane, Kazuo; Tabuchi, Seiichiro; Mitsui, Hitoshi; Katsumi, Ikuyo; Satoh, Yuichi

PA Fujisawa Pharmaceutical Co., Ltd., Japan; Nippon Shokubai Co., Ltd.

SO PCT Int. Appl., 302 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PΙ

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
	WO 9604254 WO 9604254 W: CA.	A2 19960215 A3 19960620 CN, JP, KR, US	WO 1995-JP1497	19950727
			FR, GB, GR, IE, IT, LU, GB 1994-15311 GB 1995-1726	19940729
*	CA 2196062	AA 19960215	CA 1995-2196062 GB 1994-15311 GB 1995-1726	19950727 19940729
			EP 1995-926512 FR, GB, GR, IT, LI, LU, GB 1994-15311 GB 1995-1726	19950727 NL, SE, PT, IE 19940729
	JP 10504545	T2 19980506	GB 1994-15311 GB 1995-1726	19950727 19940729 19950130
	us 5763437	A 19980609	WO 1995-JP1497 US 1997-776196 GB 1994-15311 GB 1995-1726 WO 1995-JP1497	19970129 19940729 19950130

OS MARPAT 125:33692

IT 177783-65-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxobenzodiazepinylureas as CCK and gastrin antagonists)

RN 177783-65-2 CAPLUS

CN Glycine, N-[3-[[[[1-[2-(3-azabicyclo[3.2.2]non-3-yl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

GΙ

Title compds. [I; R = C(:Y)ZR2; R1 = (un)substituted aryl, (un)substituted cycloalkyl; R2 = (un)substituted aryl, (un)substituted cycloalkyl, etc.; R5 = Z1R3; R3 = tetrahydrofuryl, thienyl, quinolyl, XR4, etc.; R4 = thiomorpholinyl, pyridyl, cyclohydrocarbyl, etc.; X = CO, CO2, CONH, etc.; Y = O or S; Z = bond, (alkyl)imino; Z1 = alkylene] were prepared Thus, I (R1 = C6H4F-2)(II; R = CO2CH2Ph, R5 = CH2CO2H)(preparation given) was amidated by 3-azabicyclo[3.2.2]nonane and the deprotected product N-acylated by 3-MeC6H4NCO to give II [R = CONHC6H4Me-3, R5 = CH2COR4, R4 = 3-azabicyclo[3.2.2]nonan-3-yl] which gave 98.0% inhibition of CCK-8 binding at guinea pig cerebral cortex membrane preparation at 10-8M in vitro.

L6 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:667081 CAPLUS

DN 123:55917

TI Antithrombogenic piperazine derivatives.

PA Merck Patent G.m.b.H., Germany

Ι

SO Eur. Pat. Appl., 16 pp. CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

<4/28/2004>

ΡI	ΕP	608759		A2	19940803		EP 1994-100709 19940119	
	EΡ	608759		A3	19941005			
		608759	-	В1	20010822		•	
			BE.			FR,	GB, GR, IE, IT, LI, LU, NL, PT, SE	
		,	,	,	, ==,, ==,	,	DE 1993-4302485A 19930129	
	DE	4302485		A1	19940804		DE 1993-4302485 19930129	
	JР	06271549		A2	19940927	**	JP 1994-3451 19940118	
							DE 1993-4302485A 19930129	
	AT	204570		E	20010915		AT 1994-100709 19940119	
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	ES	2162825		Т3	20020116	-	ES 1994-100709 19940119	
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	CN	1099759		Α	19950308		CN 1994-101127 19940125	
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	CZ	288122		- B6	20010411		CZ 1994-163 19940125	
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	CA	2114361		AA	19940730		CA 1994-2114361 19940127	
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	ИО	9400308		Α	19940801		NO 1994-308 19940128	
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	ZA	9400615		Α	19940913		ZA 1994-615 19940128	
							DE 1993-4302485A 19930129	
	HU	70042		A2	19950928		HU 1994-249 19940128	
							DE 1993-4302485A 19930129	
	PL	172716		B1	19971128		PL 1994-302069 19940128	
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	RU	2154639		C2	20000820		RU 1994-2323 19940128	
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	US	5908843		A	19990601		US 1994-189385 19940131	
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	GR	3036838		Т3	20020131		GR 2001-401700 20011009	
							DE 1993-4302485A 19930129	

OS MARPAT 123:55917

IT 164785-10-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of antithrombogenic piperazines)

RN 164785-10-8 CAPLUS

CN Glycine, N-[3-[[imino[[(phenylmethoxy)carbonyl]amino]methyl]amino]benzoyl]-(9CI) (CA INDEX NAME)

IT 164784-19-4P 164784-20-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antithrombogenic piperazines)

RN 164784-19-4 CAPLUS

CN Glycine, N-[3-[(1-piperazinylcarbonyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 164784-20-7 CAPLUS

CN Glycine, N-[3-[[[4-(aminoiminomethyl)-1-piperazinyl]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & & \\ H_2N-C & & & \\ & & & \\ NH & & & \\ \end{array}$$

GΙ

$$Q1 = -N$$

$$NR3$$

$$R6$$

$$Q^2 = -N Nc_pH_{2p}CHR^7COR^8$$

AB The title compds. Y(CmH2mCHR1)nCO(NHCHR2CO)rZ [I; R1, R2 = (un)substituted PhCH2, etc.; Y = Q1, 4-R5C6H4; Z = Q1, Q2, etc.; R3 = H, H2NC(:NH)NH; R4, R6 = H2, :0; R7 = R1; R8 = OH, NHOH, etc.; m = 0-4; n, r = 0, 1; p = 0-2], useful as antithrombotics (no data), antineoplastic agents (no data), antiatherosclerotics (no data), etc., are prepared and I-containing formulations

presented. Thus, 3-[4-(4-guanidinobenzoyl)-2-oxo-1-piperazinyl] propionic acid, m.p. 110° (decomposition), was prepared

Patel

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ANSWER 13 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
L6
     1994:680467 CAPLUS
AN
DN
     121:280467
ΤI
     Preparation of antibiotic carbapenem compounds
     Betts, Michael John; Davies, Gareth Morse; Jung, Frederic Henri
IN
     Zeneca Ltd., UK; Zeneca Pharma S.A.
PA
     Eur. Pat. Appl., 27 pp.
SO
     CODEN: EPXXDW
DT
     Patent
     English
LA
FAN.CNT 1
                                            APPLICATION NO.
     PATENT NO.
                       KTND
                             DATE
                                                              DATE
                                            EP 1993-307551
                                                              19930923
                        Α1
                             19940406
PI
     EP 590885
                             20000315
     EP 590885
                        В1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                           EP 1992-402648 A 19920928
                             19940329
                                            CA 1993-2106141 19930914
     CA 2106141
                        AA
                                            EP 1992-402648 A 19920928
                                            US 1993-123998
                                                              19930921
     US 5527791
                        Α
                             19960618
                                             EP 1992-402648 A 19920928
                                            AT 1993-307551
                                                              19930923
     AT 190615
                        E
                             20000415
                                            EP 1992-402648 A 19920928
     ES 2144446
                        Т3
                             20000616
                                             ES 1993-307551
                                                              19930923
                                             EP 1992-402648 A 19920928
     JP 06211860
                        A2
                             19940802
                                             JP 1993-241519
                                                              19930928
                                            EP 1992-402648 A 19920928
OS
     MARPAT 121:280467
IT
     158742-92-8P
     RL: PREP (Preparation)
        (prepare of, as antibiotic)
RN
     158742-92-8 CAPLUS
     1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[5-[[[3-
CN
     [[(carboxymethyl)amino]carbonyl]phenyl]amino]carbonyl]-3-
     pyrrolidinyl]thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, disodium salt,
     [4R-[3(3S^*,5S^*),4\alpha,5\beta,6\beta(R^*)]]-(9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

●2 Na

Ι

GΙ

$$R^{1}$$
 S
 NH
 $CONHAXCO_{2}H$
 $CO_{2}H$

AB Title compds. I (A = (substituted) Ph or thienyl; R1 = MeCH(OH), MeCHF, HOCH2; R2 = H, C1-4 alkyl; R3, R4 = H, halo, NC, C1-4 alkyl, O2N, HO, HO2C, C1-4 alkoxy, F3C, etc.;X = c1-6 alkanediyl interrupted by O, S(O)x wherein x = 0-2, R5NCO wherein R5 = H, C1-4 alkyl) or a salt or in vivo hydrolysable ester, are prepared To allyl (1R,5s,6s,8R,2's,4's)-2-(1-allyloxycarbonyl-2-(3(E-allyloxycarbonyl-1-ethenyl)phenylcarbamoyl)pyrrolidin-4-ylthio)-6-(1-hydroxyethyl)-1-methylcarbapenem-3-carboxylate (preparation given) and Maldrum's acid in DMF and THF was added (Ph3P)4Pd followed by Na 2-ethylhexanoate to give the title compound (1R,5s,6s,8R,2's,4's)-2-(2-(3(E-2-carboxy-1-ethenyl)phenylcarbamoyl)pyrrolidin-4-ylthio)-6-(1-hydroxyethyl)-1-methylcarbapenem-3-carboxylic acid, di-Na salt (II). In vitro against S. aureus the min. inhibitory concentration of II was 0.13 μg/mL ws. 2.0 μg/mL of ceftriaxone. Pharmaceutical formulations comprising I are given.

L6 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:245179 CAPLUS

DN 120:245179

TI Preparation of benzodiazepine derivatives as cholecystokinin B and gastrin receptor antagonists

IN Satoh, Masato; Okamoto, Yoshinori; Koshio, Hiroyuki; Nishida, Akito; Miyata, Keiji; Ohta, Mitsuaki; Ryder, Hamish; Kendrick, David A.; Semple, Graeme; Szelke, Michael

PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Ferring B.V.

SO PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN CNT 1

FAN.	CNT	Τ																	
	PAT	CENT 1	NO.		KII	ND.	DATE			A	PPLI	CATI	ON NC	ο.	DATE				
										_									
ΡI	WO	9400	438		A.	1	1994	0106		W	o 19	93-J	P844		1993	0622			
		W:	AU,	BB,	BG,	BR,	BY,	CA,	CZ,	FI,	HU,	JP,	KR,	KZ,	LK,	MG,	MN,	MW,	
			NO,	NZ,	ΡĿ,	PT,	RO,	RU,	SD,	SK,	UΑ,	US,	VN		•				
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	ΝE,	SN,	TD,	TG			
		•								J	P 19	92-1	8982	6	1992	0624			
	AU	9343	570		A.	1	1994	0124		A	U 19	93-4	3570		1993	0622			
	ΑU	6705	97		B	2	1996	0725											
										J	P 19	92-1	8982	6	1992	0624			
										W	0 19	93-J	P844		1993	0622			
	EP	6476	32		A.	1 .	1995	0412		E	P 19	93-9	1356	2	1993	0622			

	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	IT,	LI,	LU,	NL,	PT,	SE
				-					JE	19	92-1	8982	6	1992	0624		
									WC	19:	93 - J	P844		1993	0622		
HU	6820	8		A	2	1995	0628		ΗU	J 19	94-3	785		1993	0622		
									JE	19	92-1	8982	6	1992	0624		
JP	2726	158		В	2	1998	0311		JE	19	93-5	0220	2	1993	0622		
									JE	19	92-1	8982	6	1992	0624		
FI	9405	989		Α		1994	1221		FI	19	94-5	989		1994	1221		
									JE	19	92-1	8982	6	1992	0624		
									WC	19:	93-J	P844		1993	0622		
NO	9405	033		Α		1995	0224		NC	19	94-5	033		1994	1223		
									JE	19	92-1	8982	6	1992	0624		
									WC	19	93-J	P844		1993	0622		

OS MARPAT 120:245179

IT 154063-73-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as cholecystokinin B and gastrin receptor antagonist)

RN 154063-73-7 CAPLUS

CN Glycine, N-[3-[[[[2,3-dihydro-1-[2-(2-methylphenyl)-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]amino]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

GI

AB The title compds. I [R1 = H, alkyl, OH; R2 = Ph having one or more substituents, pyridyl, etc. (further details on substituents of said Ph are given); R3 = Ph, pyridiyl; a proviso is given] were prepared I inhibit gastric juice secretion. Treatment of benzodiazepine II with 40% HBr in AcOH, followed by reaction with m-tolyl isocyanate, gave benzodiazepine III. The title compds. in vitro exhibited an IC50 of 0.16 to 2.14 mM against cholecystokinin B binding. Formulations containing I are given.

L6 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1985:103657 CAPLUS

DN 102:103657

TI Photothermographic color imaging process

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 32 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΤ	JP 59174835	A2	19841003	JP 1983-48753	19830325
	JP 02051495	B4	19901107	01 1300 10,00	
	us 5064742	Α	19911112	US 1990-504068	19900329
				JP 1983-48753	19830325
				US 1984-592203	19840322

IT 94973-29-2

RL: USES (Uses)

(color diffusion-transfer photothermog. coupler)

RN 94973-29-2 CAPLUS

CN Glycine, N-[3-[[4-[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]thio]-4,5-dihydro-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-3-yl]amino]-4-

chlorobenzoyl] - (9CI) (CA INDEX NAME)

GΙ

HO2CCH2CONH
$$C_{16}H_{33}S$$
 C_{1}

A photothermog. process is claimed in which a photosensitive sheet containing AΒ Ag halide, a hydrophilic binder, a reducing agent (for Ag halide), and a diffusion-resistant 2-equivalent coupler which forms a diffusible hydrophilic dye is imagewise exposed and developed to form diffusible dye images, and the dye images are transferred onto a dye-mordanting layer at an elevated temperature in the presence of a hydrophilic low m.p. Thus, a polyester film support was coated with a composition containing gelatin and Me acrylate-trimethyl(vinylbenzyl)ammonium chloride copolymer and coated with a high temperature solvent composition containing urea, poly(vinyl alc.), p-C9H19C6H4O(CH2CH2O)8H, and Na dodecylbenzenesulfonate to give a receptor sheet. Sep., another film support was coated with a composition containing Ag(Br,Cl) emulsion, I, quanidine trichloroacetate, 2,6-dichloro-4aminophenol and p-C9H19C6H4O(CH2CH2O)8H to give a photothermog. film. film was imagewise exposed, heated at 130°, then contacted with the receptor sheet and heated at 120° to form clear magenta dye images on the receptor.

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=> d his
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(FILE 'HOME' ENTERED AT 10:33:40 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 10:33:51 ON 28 APR 2004

L1 STRUCTURE UPLOADED

L2 41 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 10:34:24 ON 28 APR 2004 L3 71 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:37:55 ON 28 APR 2004 S L1

FILE 'REGISTRY' ENTERED AT 10:38:02 ON 28 APR 2004 L4 41 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:38:03 ON 28 APR 2004 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:38:08 ON 28 APR 2004

L6 15 S L2 L7 71 S L3

=> s 12 and 13

EXPRESSION CONTAINS ANSWER SETS CREATED IN MORE THAN ONE ADDITIONAL FILE

The query entered contains answer sets from two different files, other than the current file, as search terms. File crossover can only be done from one file to another.

=> s 16 and 17

L8 2 L6 AND L7

=> d 18 fbib hitstr abs total

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:335391 CAPLUS

DN 132:347569

TI Preparation gastrin and cholecystokinin receptor ligands

IN Kalindjian, Sarkis Barret; Buck, Ildiko Maria; Linney, Ian Duncan; Wright, Paul Trevor; McDonald, Iain Mair; Steel, Katherine Isobel Mary; Hull, Robert Antony David; Roberts, Sonia Patricia; Gaffen, John David; Vinter, Jeremy Gilbert; Walker, Martin Keith; Black, James Whyte; Watt, Gillian Fairfull; Harper, Elaine Anne; Shankley, Nigel Paul; Tozer, Matthew John; Dunstone, David John; Pether, Michael John; Lilley, Elliot James; Sykes, David Andrew; Low, Caroline Minli Rachel; Griffin, Eric Peter; Wright, Laurence

PA James Black Foundation Limited, UK

SO PCT Int. Appl., 210 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	WO 2000027823	A1	20000518	WO 1999-GB3733	19991109		

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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        JAN 27
                 and searchable
NEWS
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                A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
NEWS
     5
        FEB 05
                German (DE) application and patent publication number format
                 changes
NEWS
     6
        MAR 03
                MEDLINE and LMEDLINE reloaded
NEWS
     7
        MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26 PROMT: New display field available
NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field
                available
NEWS 15 APR 26
                LITALERT now available on STN
NEWS 16 APR 27
                NLDB: New search and display fields available
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
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=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL

10717238.4

Page 2

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10717238.4

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 N, CH

G2 O,S,NH

G3 NH, NH2, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s ll sss full

FULL SEARCH INITIATED 10:46:51 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4137 TO ITERATE

100.0% PROCESSED 4137 ITERATIONS SEARCH TIME: 00.00.01

16 ANSWERS

16 SEA SSS FUL L1 T₁2

=> file marpat COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY SESSION 155.84 156.05

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE 'MARPAT' ENTERED AT 10:46:58 ON 28 APR 2004

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004

DE 10335606 11 MAR 2004

EΡ 1403278 31 MAR 2004

JP 2004099560 02 APR 2004

WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

FULL SEARCH INITIATED 10:47:03 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 34119 TO ITERATE

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28.0%	PROCESSED	9558	ITERATIONS	(1 INCOMPLETE)	4	ANSWERS
47.4%	PROCESSED	16184	ITERATIONS	(2 INCOMPLETE)	7	ANSWERS
73.0%	PROCESSED	24912	ITERATIONS	(2 INCOMPLETE)	10	ANSWERS
81.1%	PROCESSED	27671	ITERATIONS	(2 INCOMPLETE)	11	ANSWERS
84.3%	PROCESSED	28770	ITERATIONS	(2 INCOMPLETE)	11	ANSWERS
89.8%	PROCESSED	30649	ITERATIONS	(2 INCOMPLETE)	12	ANSWERS
94.4%	PROCESSED	32208	ITERATIONS	(3 INCOMPLETE)	13	ANSWERS
97.7%	PROCESSED	33326	ITERATIONS	(3 INCOMPLETE)	14	ANSWERS
99.7%	PROCESSED	34026	ITERATIONS	(3 INCOMPLETE)	14	ANSWERS
100.0% SEARCH	PROCESSED TIME: 00.02		ITERATIONS	(3 INCOMPLETE)	14	ANSWERS

10717238.4

Page 4

L3

14 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE ENTRY

TOTAL SESSION

FULL ESTIMATED COST

111.10

267.15

FILE 'CAOLD' ENTERED AT 10:50:04 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 10:50:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4137 TO ITERATE

100.0% PROCESSED 4137 ITERATIONS SEARCH TIME: 00.00.01

16 ANSWERS

T.4

16 SEA SSS FUL L1

L5

0 L4

=> file caplus COST IN U.S. DOLLARS

SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST

0.42

423.41

FILE 'CAPLUS' ENTERED AT 10:50:17 ON 28 APR 2004
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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18 FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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(FILE 'HOME' ENTERED AT 10:45:15 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 10:45:54 ON 28 APR 2004

L1 STRUCTURE UPLOADED L2 16 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 10:46:58 ON 28 APR 2004 L3 14 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:50:04 ON 28 APR 2004 S L1

FILE 'REGISTRY' ENTERED AT 10:50:12 ON 28 APR 2004 L4 16 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 10:50:13 ON 28 APR 2004 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:50:17 ON 28 APR 2004

=> s 12

L6 8 L2

=> s 13

L₇ 14 L₃

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:256040 CAPLUS

DN 136:279325

TI Preparation and use of amido-lactone integrin antagonists

IN Ruminiski, Peter; Penning, Thomas D.; Jiang, Lan; Balekudru, Devadas; Rogers, Thomas; Yuan, Chester; Vancamp, Jennifer

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 88 pp. CODEN: PIXXD2

DT Patent

Page 6

```
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                             DATE
                            20020404
                                           WO 2001-US30194 20010927
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     WO 2002026227
                      Α1
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
                                                                      TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                           US 2000-235617PP 20000927
                                           US 2000-241633PP 20001010
     US 2002045645
                            20020418
                                           US 2001-963926
                                                             20010926
                       Α1
     US 6720327
                       B2
                            20040413
                                           US 2000-235617PP 20000927
                                           US 2000-241633PP 20001019
     EP 1320363
                            20030625
                                           EP 2001-975450
                                                            20010927
                       Α1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
           IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           US 2000-235617PP 20000927
                                           US 2000-241633PP 20001010
                                           WO 2001-US30194W 20010927
     US 2004019206
                       Α1
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                                           US 2003-381831
                                                             20030327
                                           WO 2001-US30194W 20010927
OS
     MARPAT 136:279325
IT
     406703-74-0 406703-76-2
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reactant; preparation and use of amido-lactone integrin antagonists)
RN
     406703-74-0 CAPLUS
CN
     Glycine, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]benzoyl]- (9CI)
```

$$\begin{array}{c|c}
H \\
N \\
NH \\
C-NH-CH_2-CO_2H \\
O\end{array}$$

INDEX NAME)

RN 406703-76-2 CAPLUS
CN Glycine, N-[[5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-3 pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

GI

Page 7

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

Title compds. I [X = NHC:YNR8R9, NHC:NR1NR8R9, etc.; Y = NR1, O, S: p, q = 1AB 0-3; A = N, C; R1 = H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, etc. or R1 taken together with R8 forms a 4-12 membered heterocycle; R8 (when not taken together with R1), R9 = H, alk(en/yn)yl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxy,
aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, etc. or NR8 and R9 taken together form a 4-12 membered heterocycle; R2-4 = H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, etc.; R5-7 = H, alk(en/yn)yl, aryl, carboxy derivs., haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxy derivs., amino, amido, etc.] were prepared For instance, (4S)-4-aminodihydro-2(3H) furanone hydrochloride (preparation given) was reacted with Boc-Gly-OSu (DMF, NMM, 0°C, 18 h) and the product deprotected with 4N HCl. The intermediate amine was condensed with the corresponding carboxylic acid (prior art, DMF, CH2Cl2, DCC, NMM, 18 h) to give II isolated as the TFA salt. Example compds. had IC50 = 0.1 nM - 100 nM for the $\alpha v\beta 3$ integrin and IC50 < 50 μM for the $\alpha v\beta 5$.

II

<4/28/2004>

Patel

integrin. I are useful for the treatment of tumor metastasis, solid tumor growth, macular degeneration, etc.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
```

AN 1999:672798 CAPLUS

DN 131:299691

TI Preparation of heterocyclic glycyl β -alanine derivatives as vitronectin antagonists

IN Chandrakumar, Nizal Samuel; Desai, Bipinchandra Nanubhai; Devadas, Balekudru; Huff, Renee; Khanna, Ish K.; Rao, Shashidhar N.; Rico, Joseph G.; Rogers, Thomas E.; Ruminski, Peter G.; Russell, Mark Andrew; Yu, Yi; Gasiecki, Alan Frank; Malecha, James W.; Miyashiro, Julie M.

PA G.D. Searle and Co., USA

SO PCT Int. Appl., 269 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	CNT	1																	
	PAT	rent i													DATE				
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		W :													CH,	-		-	
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	US	6689	754		B.	1	2004	0210		US	3 19:	99-28	3914	0	1999	0408			
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						•				US	5 19:	98-83	1394	ΡР	19980	0410			
				•						W	19	99-US	5429	7 W	19990	0409			
	RŲ	2215	746		C	2	2003	1110		RU	J 20	00-12	2803	3	19990	0409			
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										WC	199	99-US	5429	7 W	19990	0409			
	NZ	50729	92		· A		2003	1219							19990				
										US	3 199	98-81	13941	РΡ	19980	0410			

WO 1999-US4297 W 19990409

10717238.4

NO 2000005084 A 20001127

NO 2000-5084 20001009 US 1998-81394P P 19980410 WO 1999-US4297 W 19990409

OS MARPAT 131:299691

IT 247101-76-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic glycyl $\beta\text{-alanine}$ derivs. as vitronectin antagonists)

RN 247101-76-4 CAPLUS

CN Glycine, N-[[1,6-dihydro-6-oxo-5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NH-CH_2-CO_2H \\ \hline \\ HN \\ O \end{array}$$

Tile compds. A(CY3Z3)t-Het-CO-V-(CYZ)n-CONR11CHR1(CH2)pCOR [Het = AΒ (un) substituted 5-8 membered monocyclic heterocyclic ring containing 1-4 heteroatoms selected from O, N, or S, optionally unsatd. and linked to (CY3Z3)t and CO at the 1- and 3-positions; A = NR5C(:Y1)NR7R8, NR5C(:NR7)Y2, or N:C(NR2R5)(NR7R8), where Y1 = NR2, O, S; R2, R7, R8 = H, alkyl, aryl, amino, etc. or R2 and R8 taken together form an (un) substituted dinitrogen heterocycle; R5 = H, alkyl, alkenyl, alkynyl, benzyl, phenethyl; and Y2 = alkyl, cycloalkyl, bicycloalkyl, aryl, etc.; V = NR6, where R6 = H, alkyl, cycloalkyl, aralkyl, aryl, monocyclic heterocyclyl or R6 together with Y forms a mono-nitrogen-containing ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl or Y and Z together or Y3 and Z3 together form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = X-R3, where X = 1O, S, or NR4 and R3 and R4 = H, alkyl, sugars, steroids, etc.; R1 = H, alkyl, alkenyl, alkynyl, aryl, etc.] or their pharmaceutically acceptable salts were prepared as vitronectin antagonists. Thus, 5-[(aminoiminomethyl)amino]-N-[2-[[2-carboxy-1-(3-bromo-5-chloro-2hydroxyphenyl)ethyl]amino]-2-oxoethyl]-3-pyridinecarboxamide bis(trifluoroacetate) was prepared and showed IC50 = 1.58 nM for inhibition of human vitronectin receptor $(\alpha v\beta 3)$.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1997:290093 CAPLUS
- DN 126:264011
- TI Preparation of meta-guanidine, urea, thiourea or azacyclic amino benzoic acid derivatives as integrin antagonists
- IN Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard John; Rico, Joseph Gerace; Rogers, Thomas Edward; Russell, Mark Andrew; et al.
- PA G.D. Searle and Co., USA; Ruminski, Peter Gerrard; Clare, Michael; Collins, Paul Waddell; Desai, Bipinchandra Nanubhai; Lindmark, Richard,

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PCT Int. Appl., 930 pp.
SO
    CODEN: PIXXD2
DT
    Patent
ΤιA
    English
FAN.CNT 3
                 KIND DATE
                                        APPLICATION NO. DATE
    PATENT NO.
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                          -----
                                         _____
     _____
    WO 9708145 A1
                                     WO 1996-US13500 19960827
                           19970306
PΤ
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            LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU,
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
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                           19980330
                                         ZA 1996-7379
                                         US 1995-3277P P 19950830
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NO 9800817	А	19980424	NO 1998-817 19980226 US 1995-3277P P 19950830
			WO 1996-US13500W 19960827
HK 1021532	A1	20020208	HK 1998-114666 19981228
*			US 1995-3277P P 19950830
			WO 1996-US13500W 19960827
GR 3036751	. T 3	20011231	GR 2001-401608 20010928
			US 1995-3277P P 19950830
			WO 1996-US13500W 19960827

PATENT FAMILY INFORMATION: FAN 2000:31349

PATENT NO.

		~		
ΡI	US 6013651	A	20000111	US 1998-34758 19980304
				US 1995-3277P P 19950830
				US 1996-713555 A219960827
	US 6028223	Α	20000222	US 1996-713555 19960827
			•	US 1995-3277P P 19950830
	TW 458956	В	20011011	TW 1996-85115118 19961206
•,				US 1996-713555 A 19960827
	US 6100423	Α	20000808	US 1999-261822 19990303
				US 1995-3277P P 19950830
				US 1996-713555 A219960827
				US 1998-34758 A219980304
FAN	2000:547503			•
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE

KIND DATE

FAN	2000:547503 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	US 6100423	À	20000808	US 1999-261822 19990303 US 1995-3277P P 19950830
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	US 6013651	Α	20000111	US 1996-713555 A 19960827 US 1998-34758 19980304
	05 6013631	A	20000111	US 1995-3277P P 19950830 US 1996-713555 A219960827

OS MARPAT 126:264011

ΙT 188812-75-1P 188813-15-2P 188813-98-1P 188814-01-9P 188814-42-8P 188814-74-6P 188814-82-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of meta-guanidino, -ureido, -thioureido, or -azacyclic-amino benzoic acid derivs. as integrin antagonists)

188812-75-1 CAPLUS RN

CNGlycine, N-[3-[(4,5-dihydro-2-thiazolyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

APPLICATION NO. DATE

10717238.4

RN 188813-15-2 CAPLUS

CN Glycine, N-[3-[(3,4,5,6-tetrahydro-2H-azepin-7-yl)amino]benzoyl]- (9CI) (CA INDEX NAME)

RN 188813-98-1 CAPLUS

CN Glycine, N-[3-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)

RN 188814-01-9 CAPLUS

CN Glycine, N-[3-[(4-phenyl-1H-imidazol-2-yl)amino]benzoyl]- (9CI) (CA INDEX NAME)

Ph
$$N$$
 NH $C-NH-CH_2-CO_2H$

RN 188814-42-8 CAPLUS

CN Glycine, N-[3-[(1,4,5,6-tetrahydro-5,5-dimethyl-2-pyrimidinyl)amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Me NH NH
$$C-NH-CH_2-CO_2H$$

● HCl

RN 188814-74-6 CAPLUS

CN 1(4H)-Pyrimidinecarboxylic acid, 2-[[3-[[(carboxymethyl)amino]carbonyl]phe nyl]amino]-5,6-dihydro-, 1-phenyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 188814-73-5 CMF C20 H20 N4 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 188814-82-6 CAPLUS

CN 1H-Imidazole-1-carboxylic acid, 2-[[3-[[(carboxymethyl)amino]carbonyl]phen yl]amino]-4,5-dihydro-, 1-ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

GΙ

Ι

$$A = \begin{bmatrix} y^3 \\ 1 \\ 2 \\ 23 \end{bmatrix}_{t_1} V = \begin{bmatrix} y \\ 1 \\ 2 \\ 1 \end{bmatrix}_{t_2} V - \begin{bmatrix} y \\ 1 \\ 2 \\ 1 \end{bmatrix}_{t_3} V - \begin{bmatrix} CH - CH_2 \\ R11 \end{bmatrix}_{t_2} COR$$

The title compds. I [A = (un)substituted ureido, guanidino, etc. (generic structures given); Z1 = H, alkyl, OH, alkoxy, halo, (di)(alkyl)amino, aryl, etc.; V = NR6; R6 = H, alkyl, etc.; or YR6 forms a 4- to 12-membered mono-N-containing ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl; or YZ or Y3Z3 form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = XR3; X = O, S, NH, etc.; R3 = H, alkyl, etc.; R1 = H, alkyl, alkenyl, etc.; R11 = H, alkyl, aralkyl, etc.] are prepared For example, m-nitrohippuric acid was subjected to a sequence of (1) amidation with Et 3-amino-3-(3-pyridyl)propanoate-2HCl; (2) hydrogenation of the nitro group; (3) reaction of the formed amine with benzyl isocyanate; and (4) alkaline saponification of the ester, to give

II

title compound II, isolated as the CF3CO2H or HCl salt. In an in vitro assay for antagonism of human vitronectin receptor ($\alpha V\beta 3)$, the title compound II.HCl bound with an IC50 of 0.86 nM.

- L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1995:763950 CAPLUS
- DN 123:135764
- TI Synthesis of new peptidyl imidazodithi(and -thiadi)azoles as potential fungicides.
- AU Yadav, Lal Dhar S.; Shukla, Supriya
- CS Department of Chemistry, University of Allahabad, Allahabad, 211 002, India
- SO Journal of Agricultural and Food Chemistry (1995), 43(9), 2526-9 CODEN: JAFCAU; ISSN: 0021-8561
- PB American Chemical Society
- DT Journal
- LA English
- IT 165127-80-0P

RL: AGR (Agricultural use); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation as fungicide)

RN 165127-80-0 CAPLUS

CN Glycine, N-[3-[(tetrahydro-7-oxo-6-phenyl-5-thioxoimidazo[1,5-b][1,4,2]dithiazol-2-ylidene)amino]benzoyl]- (9CI) (CA INDEX NAME)

IT 165127-84-4P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation as fungicide)

RN 165127-84-4 CAPLUS

CN Glycine, N-[3-[(tetrahydro-5,7-dioxo-6-phenylimidazo[1,5-b][1,4,2]dithiazol-2-ylidene)amino]benzoyl]- (9CI) (CA INDEX NAME)

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB (4-Oxo-3-phenyl-2-thioxoimidazolidin-5-yl) N-aryldithiocarbamates, obtained by the reaction of 5-bromo-3-phenyl-2-thiohydantoin and ammonium N-aryldithiocarbamates, underwent chemoselective intramol. heterocyclizations with iodine and SOCl2 to yield 2-(arylimino)-6-phenyl-5-thioxoperhydroimidazo[1,5-d][1,3,4]dithiazole-7-thiones (I, m- or p-CO2H) and 3,6-diaryl-2,5-dithioxoperhydroimidazo[5,1-b][1,3,4]thiadiazol-7-ones (II), resp. I and II were converted into the corresponding 2- and 3-peptidyl derivs. III (R = H or Me) and IV (R = H or Me). III and IV on dethio-oxygenation furnished the corresponding diones V (R = H or Me) and VI (R = H or Me). Fungitoxicities of some compds. were evaluated in vitro against Alternaria solani and Fusarium oxysporum. Several compds. displayed activities comparable with that of Dithane M-45. Structure-activity relationships for the tested compds. are discussed.
- L6 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1985:103657 CAPLUS
- DN 102:103657
- TI Photothermographic color imaging process
- PA Fuji Photo Film Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 32 pp.
- CODEN: JKXXAF
- DT Patent

LA Japanese

FAN.CNT 1

					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 59174835	A2	19841003	JP 1983-48753	19830325
	JP 02051495	B4	19901107		
	US 5064742	A	19911112	US 1990-504068	19900329
				JP 1983-48753	19830325
				US 1984-592203	19840322

IT 94973-29-2

RL: USES (Uses)

(color diffusion-transfer photothermog. coupler)

RN 94973-29-2 CAPLUS

CN Glycine, N-[3-[[4-[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]thio]-4,5-dihydro-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-3-yl]amino]-4-chlorobenzoyl]- (9CI) (CA INDEX NAME)

GΙ

AB A photothermog. process is claimed in which a photosensitive sheet containing Ag halide, a hydrophilic binder, a reducing agent (for Ag halide), and a diffusion-resistant 2-equivalent coupler which forms a diffusible hydrophilic dye is imagewise exposed and developed to form diffusible dye images, and

the dye images are transferred onto a dye-mordanting layer at an elevated temperature in the presence of a hydrophilic low m.p. Thus, a polyester film support was coated with a composition containing gelatin and Me acrylate-trimethyl (vinylbenzyl)ammonium chloride copolymer and coated with a high temperature solvent composition containing urea, poly(vinyl alc.), p-C9H19C6H4O(CH2CH2O)8H, and Na dodecylbenzenesulfonate to give a receptor sheet. Sep., another film support was coated with a composition containing Ag(Br,Cl) emulsion, I, guanidine trichloroacetate, 2,6-dichloro-4-aminophenol and p-C9H19C6H4O(CH2CH2O)8H to give a photothermog. film. The film was imagewise exposed, heated at 130°, then contacted with the receptor sheet and heated at 120° to form clear magenta dye images on the receptor.

L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1975:409777 CAPLUS

DN 83:9777

TI Iodine-substituted cyclic amidines as x-ray contrast agents

IN Obendorf, Werner; Schwarzinger, Ernst; Krieger, Josef; Lindner, Irmqard

PA Chemie Linz A.-G., Austria

SO Austrian, 7 pp.

CODEN: AUXXAK

DT Patent

LA German

FAN.CNT 1

*	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	AT 319226	В	19741210	AT 1972-6598	19720731
				AT 1972-6598	19720731

IT 55580-18-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 55580-18-2 CAPLUS

CN Glycine, N-[2,4,6-triiodo-3-[[1-(2-methoxyethyl)-2-pyrrolidinylidene]amino]benzoyl]-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

GI For diagram(s), see printed CA Issue.

Pyrrolidinylideneaminobenzoylaminopropionates I (R = Me, CH2CH2OH, CH2CH2OMe, H, Et, (CH2)3OMe, cyclohexyl, Ph, OMe; R1 = H, Me, allyl, CHMe2, Et, (CH2)3OMe; R2 = H, Me, Et; R3 = H, Me) and some related compds. (30 compds.) were prepared as contrast media for gallbladder radiog. Thus 106.6 g 2,4,6,3-I3(H2N)C6HCOCl was treated with 100 ml N-methylpyrrolidone

and 30.7 g of the product treated with 14.4 g MeNHCH2CHMeCO2Me to give 19 g I (R-R2 = Me, R3 = H).

L6 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1975:160245 CAPLUS

DN 82:160245

TI X-ray contrast medium

IN Obendorf, Werner; Schwarzinger, Ernst; Krieger, Josef; Lindner, Irmgard

PA Chemie Linz A.-G.

SO Austrian, 7 pp. CODEN: AUXXAK

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	AT 319463	В	19741227	AT 1972-6601	19720731
				ΔͲ 1972-6601	19720731

IT 52545-41-2P

RN 52545-41-2 CAPLUS

CN Glycine, N-[2,4,6-triiodo-3-[[1-(2-methoxyethyl)-2-pyrrolidinylidene]amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

MeO-
$$CH_2$$
- CH_2

N

I

C- NH - CH_2 - CO_2H

HC1

GI For diagram(s), see printed CA Issue.

AB I (X = CONR1, Y = a straight or branched alkylene or xy = y, R and R1 = H, alkyl, hydroxyalkyl, methoxyalkyl, cycloalkyl, aryl, or aralkyl) are useful contrast mediums of low toxicity and rapid clearance from the body. The pyrrolidine ring may be replaced by 3,4,5,6-tetrahydro-(2H)-azepine. For example, N-methyl-2-pyrrolidinone [872-50-4] and POCl3 are added to a solution of 3-amino-2,4,6-triiodobenzoyl chloride [51935-27-4] in CHCl3, and the mixture refluxed for 2 hr, to yield 3-(1-methyl-2-pyrrolidinylideneamino)-2,4,6-triiodobenzoyl chloride [52545-26-3]. A solution of this chloride and MeHNCH2CHMeCO2Me [21388-25-0] in CHCl3 was refluxed for 20 min. and the acid chloride hydrolyzed, to give I (XY = CONMeCH2CHMe, R = Me) [52545-27-4]. A tablet formulation was given for I (XY = CONHCH2CH2, R = MeOCH2CH2) [52545-31-0].

L6 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

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10717238.4
                                  Page 19
AN
     1974:108358 CAPLUS
DN
     80:108358
TI
     Cyclic amidines for x-ray contrast medium
IN
     Oberndorf, Werner; Lindner, Irmgard; Schwarzinger, Ernst; Krieger, Josef
     Lentia G.m.b.H., Chem. u. Pharm. Erzeugnisse-Industriebedarf
PΑ
     Ger. Offen., 28 pp.
SO
     CODEN: GWXXBX
     Patent
DT
     German
T.A
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                             DATE
                                             APPLICATION NO.
                                                               DATE
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DE 1972-2235915

SU 1973-1948987

DE 1972-2235915

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ES 1973-417137

DE 1972-2235915

PL 1973-164197

RO 1973-84174

RO 1973-81174

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SU 528867

PL 89364

RO 66797

RO 66797

ES 417137

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Page 20

BE 806666	A1	19740429	BE 1973-1371	91 19731029
			FR 1973-2627	19730718
SU 578866	D	19771030	SU 1974-20742	276 19741110
			DE 1972-2235	915 19720721

IT 52545-41-2P

RN 52545-41-2 CAPLUS

CN Glycine, N-[2,4,6-triiodo-3-[[1-(2-methoxyethyl)-2-pyrrolidinylidene]amino]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

GI For diagram(s), see printed CA Issue.

AB About twenty-eight cyclic amidines [I; R = carboxyalkyl or (carboxyalkyl)-carbamoyl; R1 = H Me, Et, (CH2)2OH, Ph, cyclohexyl, or (CH2)nOMe, n = 0, 2, or 3], useful as x-ray contrast medium especially for the cholecystog., were prepared by reaction of the pyrrolidinones II and POCl3 with III (R = carboxyalkyl) or with III (R = COCl) and subsequent reaction with an alkyl aminoalkanecarboxylate followed by saponification

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L7 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:965163 CAPLUS

DN 138:39539

TI Preparation of amino acid derivatives as inhibitors of protein isoprenyl transferases

IN Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.; Donner, Greg B.; Fakhoury, Stephen A.; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Szczepankiewicz, Bruce G.; Gunawardana, Indrani W.

PA University of Pittsburgh, USA

SO U.S. Pat. Appl. Publ., 499 pp., Cont.-in-part of U.S. Ser. No. 852,858, abandoned.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002193596	A1	20021219	US 2001-984411	20011030

Patel

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US 6693123
                      B2
                           20040217
                                          US 1995-7247P P 19951106
                                          US 1996-740909 B219961105
                                          US 1997-852858 B219970507
PATENT FAMILY INFORMATION:
FAN
    1997:436061
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    WO 9717070
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                                          WO 1996-US17092W 19961105
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FAN
    1998:744940
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
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PΙ
    WO 9850029
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                           19981112
                                         WO 1998-US9296
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            DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,
            LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
            PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ,
            VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
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            CM, GA, GN, ML, MR, NE, SN, TD, TG
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                                          US 1997-852858 A 19970507
                                          WO 1998-US9296 W 19980507
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            IE, FI
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                                          WO 1998-US9296 W 19980507
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                      T2
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                                          US 1997-852858 A 19970507
                                          WO 1998-US9296 W 19980507
    TW 492955
                      В
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                                          TW 1998-87107182 19980715
                                          US 1997-852858 A 19970507
    MX 9910186
                           20000630
                                          MX 1999-10186
                                                           19991105
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FAN		KIND DATE	APPLICATION NO. DATE
ΡI	WO 9850030	A1 19981112	WO 1998-US9297 19980507
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FAN	1998:744942		US 1997-852858 A 19970507
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	AU 9873719	A1 19981127	US 1997-852858 A 19970507 AU 1998-73719 19980507 US 1997-852858 A 19970507
	TW 492955	B 20020701	WO 1998-US9298 W 19980507
FAN	2001:195207 PATENT NO.		APPLICATION NO. DATE
ΡI	US 6204293	B1 20010320	US 1995-7247P P 19951106 US 1996-740909 B219961105
FAN	2001:297641 PATENT NO.		US 1997-852858 B219970507 APPLICATION NO. DATE
PI		B1 20010424	US 1998-73795 19980507 US 1995-7247P P 19951106 US 1996-740909 B219961105 US 1997-852858 B219970507
FAN	2001:792340 PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡΙ	US 6310095	B1 20011030	US 1998-73794 19980507 US 1995-7247P P 19951106 US 1996-740909 B219961105 US 1997-852858 B219970507
	ZA 9906763	A 20000515	
OS	MARPAT 138:3953		
AB	Compas. R3-Z-L1	-aryl [aryl is a	benzene ring having certain substituents

Patel

R1, R2, R4; L1 is L4-NR5-L5, L4-O-L5, L4-S(0)m-L5, etc., where L4 and L5 are absent or alk(en)ylene, R5 is H, alkanoyl, alkoxy, alkoxyalkyl, etc.;

m = 0-2; Z is a covalent bond, O, S(0)m, an imino group; R3 = (un) substituted pyridyl or imidazolyl; or L1, Z, and R3 together are aminoalkyl, haloalkyl, halo, carboxaldehyde, (carboxaldehyde)alkyl, or hydroxyalkyl (R1 ≠ H) or L1, Z, R3, and R4 together are an (un) substituted pyrrolidinone ring] were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-(3-pyridylcarbonylamino)-2phenylbenzoyl] methionine hydrochloride, prepared via amidation reaction, showed 93% inhibition of farnesyl transferase at 1x10-5 M.

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ANSWER 2 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
L7
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AN 2001:791912 CAPLUS

DN 135:344503

TI Preparation of imidazopyrimidines and triazolopyrimidines as inhibitors of Syk tyrosine kinase

Yura, Takeshi; Conception, Arnel B.; Hahn, Kyun Hee; Hiraoka, Makiko; IN Katsumada, Hiroko; Kawamura, Norihiro; Kokubo, Toshio; Komura, Hiroshi; Lee, Young Ho; Lowinger, Timothy B.; Motegi, Munehito; Yamamoto, Tomoyuki; Yoshida, Osahiro

PABayer A.-G., Germany

SO Jpn. Kokai Tokkyo Koho, 212 pp.

CODEN: JKXXAF

DТ Patent

Japanese LΑ

FAN.CNT 1

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PATENT NO.
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                                             WO 2001-EP4357 W 20010417
     BG 107166
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                              20021025
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                                             WO 2001-EP4357 W 20010417
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                              20040318
                                             US 2003-258628
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                                             JP 2000-128870 A 20000428
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MARPAT 135:344503

GΙ

AB The title compds. [I; R1 = X-R4, (un)substituted 4- to 5-membered (un)saturated heterocyclyl containing ≤ 4 heteroatoms selected from 0, N, and S, 4 to 7-membered (un)saturated carbocyclyl, 7 to 10-membered (un)saturated

condensed ring moiety optionally containing ≤ 4 heteroatoms selected from O, N, and S [wherein X = (un)substituted CH2, O, S, SO, SO2, (un)substituted NH; R4 = (un)substituted C7-10 aroyl, C7-10 aralkyl, C1-10 alkyl, C2-10 alkenyl, C3-7 (un)saturated carbocyclyl, 4 to 7-membered (un)saturated heterocyclyl containing ≤ 4 heteroatoms selected from O, N, and S, 7 to 10-membered (un)saturated condensed ring moiety optionally

≤4 heteroatoms selected from O, N, and S]; Y = CH, N; R2 = H, (un) substituted C1-10 alkyl, NR8COR9, NR8CO2R9, COR8, CO2R9, CONR8R9 [wherein R8, R9 = H, (un) substituted C1-6 alkyl]; R3 = (un) substituted aryl or heteroaryl] or salts thereof are prepared These compds. are useful as antiallergic agent for the prevention or treatment of asthma, allergic rhinitis, atopic dermatitis, food allergy, contact allergy, hives, conjunctivitis, and vernal (spring) catarrh, or as immunosuppressants, anticoagulants, or antitumor agents. Thus, 5-chloro-7-(3,4-dimethoxyphenyl) imidazo[1,2-c]pyrimidine, 1-(4-fluorophenyl)piperazine dihydrochloride, diisopropylethylamine, and 2-propanol were heated at 90° with stirring to give 64.6% 7-(3,4-dimethoxyphenyl)-5-[4-(4-fluorophenyl)piperazin-1-yl]imidazo[1,2-c]pyrimidine which showed IC50 of ≤0.5 μ M against Syk tyrosine kinase.

L7 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:842104 CAPLUS

DN 134:29204

containing

TI Preparation of benzamidines and arylamidines as inhibitors of factor Xa

IN Zhu, Bing-Yan; Zhang, Penglie; Scarborough, Robert M.

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

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<4/28/2004>

WO 2000-US14205W 20000524 JP 2003500386 T2 20030107 JP 2000-619768 US 1999-135849PP 19990524 WO 2000-US14205W 20000524 US 6638980 В1 20031028 US 2000-576633 20000524 US 1999-135849PP 19990524 MARPAT 134:29204

OS

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AΒ AYDEGJZL [wherein A = (cyclo)alkyl, (un)substituted amino, imino, amidino, guanidino, Ph, naphthyl, heterocyclic ring, etc.; Y = bond, CH2, CO, NR4CH2, CH2NR4, NR4, CONR4, NR4CO, C(:NR4), C(:N4)NR4a, C(:NR4)CH2, C(:NR4)NR4aCH2, SO2, O, SO2NR4, or NR4SO2; R4 and R4a = independently H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, or (un)substituted alklylphenyl or aklynaphthyl; D = bond, (un)substituted Ph, naphthyl, orheterocyclic ring; E = NR5CO, NR5CONR6, SO2NR5, NR5SO2NR6, NR5SO2NR6CO; R5 and R6 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl or (un)substituted alkylphenyl, alkylnaphthyl, alkylheteroaryl, carboxyalkyl, carbamidoalkyl, etc.; G = (un)substituted methylene, ethylene, or propylene; J = bond, CONR11, NR11CO, NR11, NR11CH2, O, S, SO2, SO, OCH2, or SO2CH2; R11 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl or (un)substituted alkylphenyl, alkylnaphthyl, or alkylheteroary; Z = (un) substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR12NR13, (CH2)0-2NR12R13, C(:NR12)NR12R13, NR12R13, OR12, NR12C(:NR12)NR12N13, or NR12C(:N12)R13; R12 and R13 = independently H, OH, alkyl, (un)substituted alkoxy, (di)alkylamino, alkylphenyl, alkylnaphthyl, carboxyalkyl, etc.] were prepared as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, N-tert-butoxycarbonylglycinol was condensed with 3-cyanophenol in the presence of PPh3 and DEAD in CH2Cl2 (93%), and the amine deprotected and converted to the salt using TFA. Reaction of the TFA amine salt with 2'-(tert-butylaminosulfonyl)-4-biphenylcarboxylic acid in the presence of BOP and i-Pr2NEt in DMF gave the amide (84%). The benzonitrile was converted to the desired benzamidine salt (I•TFA) in 85% yield by bubbling HCl gas through a solution of the amide intermediate in MeOH, followed by neutralization and workup using 0.5% TFA in H2O/MeCN. Compds. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

Ι

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN1998:744940 CAPLUS

DN 130:25338

TIInhibitors of protein isoprenyl transferases

<4/28/2004>

Patel

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Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.;
IN
     Donner, Bernard G.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin,
     Douglas M.; Larsen, John J.; Liu, Gang; O'Connor, Stephen J.; Rosenberg,
     Saul H.; Shen, Wang; Swenson, Rolf E.; Sorensen, Bryan K.; Sullivan,
     Gerard M.; Szczepankiewicz, Bruce G.; Tasker, Andrew S.; Wasick, James I.;
     Winn, Martin
     University of Pittsburgh, USA
PΑ
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     PCT Int. Appl., 848 pp.
     CODEN: PIXXD2
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<4/28/2004>

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	AT 236632	E 2003041	WO 1996-US17092W 19961105 5 AT 1996-938647 19961105 US 1995-7247P P 19951106 WO 1996-US17092W 19961105
	ES 2196186	T3 2003121	
FAN	1998:744941 PATENT NO.		APPLICATION NO. DATE
ΡΙ	WO 9850030 W: CA, JP,	A1 1998111 MX	2 WO 1998-US9297 19980507 ., ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
	PT, SE	CH, CI, DE, DR	US 1997-852858 A 19970507
	TW 492955	В 2002070	TW 1998-87107182 19980715 US 1997-852858 A 19970507
FAN			APPLICATION NO. DATE
ΡΙ	DK, EE, LC, LK, PT, RO, VN, YU, RW: GH, GM, FI, FR, CM, GA,	AT, AU, AZ, BA ES, FI, GB, GE LR, LS, LT, LU RU, SD, SE, SG ZW, AM, AZ, BY KE, LS, MW, SI	US 1997-852858 A 19970507 AU 1998-73719 19980507 US 1997-852858 A 19970507 WO 1998-US9298 W 19980507
FAN	2001:195207 PATENT NO.	KIND DATE	US 1997-852858 A 19970507 APPLICATION NO. DATE
ΡΙ	US 6204293		US 1998-73807 19980507 US 1995-7247P P 19951106 US 1996-740909 B219961105 US 1997-852858 B219970507
FAN	2001:297641 PATENT NO.	KIND DATE	
PI	US 6221865		
FAN	2001:792340 PATENT NO.		
PI	US 6310095		

	ZA 9906763	A	20000515	ZA 1999-6763 19991027 US 1998-73794 A 19980507 US 1998-197279 A 19981120						
FAN	2002:965163 PATENT NO.		DATE	APPLICATION NO. DATE						
ΡI	US 2002193596			US 2001-984411 20011030						
				US 1995-7247P P 19951106 US 1996-740909 B219961105 US 1997-852858 B219970507						
AB	OS MARPAT 130:25338 AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is absent or is L4NR5L5, L4OL5, L4S(O)mL5 (m = 0-2), etc., where L4 and L5 are absent or alkylene, alkenylene, R5 is H, alkanoyl; Z is a covalent bond, O, S(O)q (q = 0-2), NH or imino; R3 = H, aryl, fluorenyl, heterocyclyl, cycloalkyl, etc.] were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-[(R)-thiazolidin-4-ylcarbonylamino]-2-phenylbenzoyl]methionine Me ester hydrochloride, prepared via amidation reaction, showed 92% inhibition of farnesyl transferase at 1x10-6 M. RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT									
L7 AN DN TI IN PA SO DT LA FAN.	<pre>AN 1998:250700 CAPLUS DN 128:295059 TI Preparation of pyridyl- and naphthyridylalkoxybenzoyl-α-</pre>									
				APPLICATION NO. DATE						
PI	KR, KZ, SI, SK, RW: KE, MW,	A1 BB, BG LK, LR TJ, TM SD, SZ NL, PT TG A	19951207 3, BR, BY, CA, 4, LT, LV, MD, 1, TT, UA, US, 2, UG, AT, BE, 3, SE, BF, BJ, 19990727	US 1996-714097 19960926 US 1994-250218 B219940527 WO 1995-US5938 W 19950512 WO 1995-US5938 19950512 CN, CZ, EE, FI, GE, HU, IS, JP, KG, MG, MN, MX, NO, NZ, PL, RO, RU, SG, UZ CH, DE, DK, ES, FR, GB, GR, IE, IT, CF, CG, CI, CM, GA, GN, ML, MR, NE, US 1994-250218 A 19940527 US 1994-250218 B219940527 US 1996-714097 A319960926						
FAN	1996:181547 PATENT NO.	KIND	DATE	APPLICATION NO. DATE						

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10717238.4 Page 30

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	RW:	LU,	•	NL,		•	•		-	•	-			GB, GN,			-
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CA	2190	8.70		A	A	1995.	1207		_				-	1995			•
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		•							WC	19:	95 - US	55938	B W	1995	0512		
AT	2275	67		E		2002	1115	•	A.	r 19:	95-92	20409	9	1995	0512		
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ES	2186	720		T.	3	2003	0516							1995			
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US	5741	196		A		1998	U4ZI							1996 1994			
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AB Compds. of structure I [X = various amino, amidino, guanidino, and N-heterocyclic groups; Y = alkylene, alkynylene, alkenylene, etc.; B = alkylene with optional amide moiety in chain; R1 = H, alkoxyalkyl, alkoxycarbonylalkyl, (di) (alkyl) aminoalkyl, aralkyl; R6, R7 = H, (di) alkylaminoalkyl, alkoxycarbonylaminoalkyl, alkylsulfonylaminoalkyl, alkylcarbonylaminoalkyl; R12 = OH, alkoxy, dialkylaminocarbonylmethoxy, aryldialkylaminocarbonylmethoxy; with provisos], are described which

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inhibit osteoclast-mediated bone resorption. Specifically, the compds. are useful for treating mammals suffering from a bone condition caused or mediated by increased bone resorption, who are in need of such therapy. The compds. may be administered in oral dosage forms such as tablets, capsules, e.g. sustained release capsules, powders, granules, and suspensions. Syntheses of approx. 50 compds. in 37 synthetic examples are described. Thus, amidation of Me 4-[2-(4-aminopyridin-6-yl)ethoxy]benzoic acid (preparation given) with (R)-H2NCH2CH(NHSO2Ph)CO2CMe3.HCl (preparation given)

using EDC, N-hydroxybenzotriazole (HOBt), and N-methylmorpholine in DMF, followed by deprotection with CF3CO2H gave desired compound II. In EIB and OCFORM assays, prepared compds. I had values ranging 0.5-500 nM and 1-1000 nM, resp.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1997:769193 CAPLUS
- DN 128:88933
- TI Preparation of triazine-containing anionic compounds and their use as antiviral agents
- IN Gluzman, Yakov; Larocque, James Paul; O'Hara, Bryan Mark; Morin, John Edward; Ellestad, George Alfred; Mitsner, Boris; Ding, Wei Dond; Raifekd, Yuri Efimovich; Nikitenko, Antonina Aristotelev
- PA American Cyanamid Co., Japan
- SO Jpn. Kokai Tokkyo Koho, 31 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese

FAN. CNT 3

FAN.	CNT 3			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡΙ	JP 09309882	. A2	19971202	JP 1997-28029 19970212 US 1996-11542P P 19960213 US 1997-789038 A 19970127
	US 5852015	A	19981222	US 1997-789038 19970127
	SK 282598	B6	20021008	SK 1997-179 19970206
	2		•	US 1996-11542P P 19960213
				US 1997-789038 A 19970127
	NO 9700652	Α .	19970814	NO 1997-652 19970212
				US 1996-11542P P 19960213
			•	US 1997-789038 A 19970127
	CA 2197394	AA	19980727	CA 1997-2197394 19970212
				US 1997-789038 A 19970127
	IL 120206	A1	20000217	IL 1997-120206 19970212
				US 1996-11542P P 19960213
	·			US 1997-789038 A 19970127
	RU 2170731	C2	20010720	RU 1997-102335 19970212
				US 1996-11542P P 19960213
				US 1997-789038 A 19970127
	CZ 290450	В6	20020717	CZ 1997-423 19970212
				US 1996-11542P P 19960213
	•			US 1997-789038 A 19970127
	NZ 328399	Α	20010427	NZ 1997-328399 19970723
			•	US 1997-789038 A 19970127
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PATENT FAMILY INFORMATION:

FAN 1997:632410

PATENT NO. KIND DATE APPLICATION NO.

PΙ	EP 795549	A1	19970917	EP 1997-300905 19970212
	R: AT, BE,	CH, DE		FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
	<i>.</i>	•		US 1996-11542P P 19960213
				US 1997-789038 A 19970127
	US 5852015	Ζ	19981222	
	SK 282598	В6		
	SR 202370	БО	20021000	US 1996-11542P P 19960213
				US 1997-789038 A 19970127
	NO 0700CE2	7.	10070014	
	NO 9700652	A	19970814	NO 1997-652 19970212
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	G3 0100004		40000000	US 1997-789038 A 19970127
	CA 2197394	AA	19980727	CA 1997-2197394 19970212
				US 1997-789038 A 19970127
	IL 120206	A1	20000217	IL 1997-120206 19970212
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	RU 2170731	C2	20010720	RU 1997-102335 19970212
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	CZ 290450	В6	20020717	CZ 1997-423 19970212
				US 1996-11542P P 19960213
				US 1997-789038 A 19970127
	NZ 328399	Α	20010427	
				US 1997-789038 A 19970127
FAN	1997:776761			05 1777 707030 11 17770111
		KIND	DATE	APPLICATION NO. DATE
ΡI	CA 2197393			
	CA 217/373	AA	10070014	US 1996-11542P P 19960213
	CN 1163890	7\	19971105	
	CN 1062860	A B	20010307	CN 1997-104700 19970212
	CN 1002000	Б	20010307	IIC 1006 11542D D 10060212
	77 0701105	7	10000010	US 1996-11542P P 19960213
	ZA 9701185	A	19980812	ZA 1997-1185 19970212
	DD 070000	-	10000001	US 1996-11542P P 19960213
	BR 9700939	A	19980901	BR 1997-939 19970212
				US 1996-11542P P 19960213
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	NZ 314225	A	20010330	NZ 1997-314225 19970213
				US 1996-11542P P 19960213
	TW 438797	В	20010607	TW 1997-86101818 19970213
				US 1996-11542P P 19960213
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	AU 710536	B2	19990923	
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OS	MARPAT 128:8893	3		
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^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The compds. I [A = II, III, IV, V, VI, VII; R = SO3H, OSO3H, OH, CO2H; B = NH, NR1; R1 = C1-6 alkyl which may be substituted with C1, Br, F, OH, cyano; X = C1, F, VIII; U = SO2, CO, NCO, NCS; W = N(YZ)2, IX, X; Y = C(CH2)n; n = 0-6; m = 0-2; Z = H, Me, CF3, CH2X, CH2OH, CO2H, C1-6

alkoxycarbonyl, CONR22, cyano, CHR20H; X = Cl, Br, F, I; R2 = H, Cl-6 alkyl], their salts, or their esters are claimed. Also claimed are pharmaceutical compns. containing ≥ 1 I, their salts, or their esters for treatment of infection with respiratory syncytial virus (RSV), herpes simplex virus, HIV virus, cytomegalovirus, and influenza virus. 4,4'-Bis[4,6-di[3-aminophenyl-N,N-bis(2-carbamoylethyl)sulfonylimino]-1,3,5-triazin-2-ylamino]stilbene-2,2'-disulfonic acid, prepared from cyanuric chloride, 4,4'-diaminostilbene-2,2'-disulfonic acid, and 3-aminophenyl-N,N-bis(2-carbamoylethyl)sulfonylimine, inhibited plaque formation of RSV in Vero cells at IC50 0.1 μ g/mL. A small-particle aerosol of this compound also showed antiviral effect on cotton rats infected with RSV.

- L7 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1997:632410 CAPLUS
- DN 127:307402
- TI Preparation of bis-aryloxy(amino)-triazinyl-oxy(amino)aryl derivatives as antiviral agents
- IN Gluzman, Yakov; Larocque, James Paul; O'Hara, Bryan Mark; Morin, John Edward; Ellestad, George Alfred; Mitsner, Boris; Ding, Wei-Dong; Raifeld, Yuri Efimovich; Nikitenko, Antonina Aristotelev
- PA American Cyanamid Company, USA
- SO Eur. Pat. Appl., 40 pp. CODEN: EPXXDW
- DT Patent
- LA English

FAN. CNT 3

FAN.	CNT 3								
	PATENT NO.	KIND	DATE	- 35	APPLICATION NO.	DATE			
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					US 1997-789038 A	19970127			
	US 5852015	A	19981222		US 1997-789038 SK 1997-179	19970127			
	SK 282598	B6	20021008		SK 1997-179	19970206			
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					US 1997-789038 A	19970127			
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			•		US 1997-789038 A	19970127		*	
	CA 2197394	AA	19980727		CA 1997-2197394	19970212			
					US 1997-789038 A	19970127			
	IL 120206	A1	20000217		IL 1997-120206	19970212			
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	RU 2170731	C2	20010720		RU 1997-102335	19970212			
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	CZ 290450	В6	20020717						
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					US 1997-789038 A				
	NZ 328399	Α	20010427		NZ 1997-328399	19970723			
					US 1997-789038 A	19970127			
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	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE			

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	US 5852015 SK 282598	A B6	19981222 20021008	US 1997-789038 19970127 SK 1997-179 19970206
	SK 282598	Вб	20021006	US 1996-11542P P 19960213
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	CA 2197394	AA	19980727	CA 1997-2197394 19970212
	CR 213/334	AA	17700727	US 1997-789038 A 19970127
	IL 120206	A1	20000217	IL 1997-120206 19970212
	11 120200	44-	20000217	US 1996-11542P P 19960213
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				US 1996-11542P P 19960213
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	PATENT NO. CA 2197393 CN 1163890 CN 1062860 ZA 9701185 BR 9700939 AU 9714704 NZ 314225	AA A B A A A1	19970814 19971105 20010307 19980812 19980901 19970821 20010330	CA 1997-2197393 19970212 US 1996-11542P P 19960213 CN 1997-104706 19970212 US 1996-11542P P 19960213 ZA 1997-1185 19970212 US 1996-11542P P 19960213 BR 1997-939 19970212 US 1996-11542P P 19960213 AU 1997-14704 19970213 US 1996-11542P P 19960213 NZ 1997-314225 19970213 US 1996-11542P P 19960213
	PATENT NO. CA 2197393 CN 1163890 CN 1062860 ZA 9701185 BR 9700939 AU 9714704	AA A B A A	19970814 19971105 20010307 19980812 19980901 19970821	CA 1997-2197393 19970212 US 1996-11542P P 19960213 CN 1997-104706 19970212 US 1996-11542P P 19960213 ZA 1997-1185 19970212 US 1996-11542P P 19960213 BR 1997-939 19970212 US 1996-11542P P 19960213 AU 1997-14704 19970213 US 1996-11542P P 19960213 NZ 1997-314225 19970213 US 1996-11542P P 19960213 US 1996-11542P P 19960213 TW 1997-86101818 19970213
	PATENT NO. CA 2197393 CN 1163890 CN 1062860 ZA 9701185 BR 9700939 AU 9714704 NZ 314225 TW 438797	AA A B A A A1 A B	19970814 19971105 20010307 19980812 19980901 19970821 20010330 20010607	CA 1997-2197393 19970212 US 1996-11542P P 19960213 CN 1997-104706 19970212 US 1996-11542P P 19960213 ZA 1997-1185 19970212 US 1996-11542P P 19960213 BR 1997-939 19970212 US 1996-11542P P 19960213 AU 1997-14704 19970213 US 1996-11542P P 19960213 NZ 1997-314225 19970213 US 1996-11542P P 19960213 TW 1997-86101818 19970213 US 1996-11542P P 19960213
	PATENT NO. CA 2197393 CN 1163890 CN 1062860 ZA 9701185 BR 9700939 AU 9714704 NZ 314225 TW 438797 AU 9734190	AA A B A A1 A B A1	19970814 19971105 20010307 19980812 19980901 19970821 20010330 20010607 19971030	CA 1997-2197393 19970212 US 1996-11542P P 19960213 CN 1997-104706 19970212 US 1996-11542P P 19960213 ZA 1997-1185 19970212 US 1996-11542P P 19960213 BR 1997-939 19970212 US 1996-11542P P 19960213 AU 1997-14704 19970213 US 1996-11542P P 19960213 NZ 1997-314225 19970213 US 1996-11542P P 19960213 US 1996-11542P P 19960213 TW 1997-86101818 19970213
	PATENT NO. CA 2197393 CN 1163890 CN 1062860 ZA 9701185 BR 9700939 AU 9714704 NZ 314225 TW 438797	AA A B A A A1 A B	19970814 19971105 20010307 19980812 19980901 19970821 20010330 20010607	CA 1997-2197393 19970212 US 1996-11542P P 19960213 CN 1997-104706 19970212 US 1996-11542P P 19960213 ZA 1997-1185 19970212 US 1996-11542P P 19960213 BR 1997-939 19970212 US 1996-11542P P 19960213 AU 1997-14704 19970213 US 1996-11542P P 19960213 NZ 1997-314225 19970213 US 1996-11542P P 19960213 TW 1997-86101818 19970213 US 1996-11542P P 19960213 TW 1997-86101818 19970213 US 1996-11542P P 19960213 AU 1997-34190 19970814
PI	PATENT NO. CA 2197393 CN 1163890 CN 1062860 ZA 9701185 BR 9700939 AU 9714704 NZ 314225 TW 438797 AU 9734190 AU 710536	AA A B A A1 A B A1 B A1 B2	19970814 19971105 20010307 19980812 19980901 19970821 20010330 20010607 19971030	CA 1997-2197393 19970212 US 1996-11542P P 19960213 CN 1997-104706 19970212 US 1996-11542P P 19960213 ZA 1997-1185 19970212 US 1996-11542P P 19960213 BR 1997-939 19970212 US 1996-11542P P 19960213 AU 1997-14704 19970213 US 1996-11542P P 19960213 NZ 1997-314225 19970213 US 1996-11542P P 19960213 TW 1997-86101818 19970213 US 1996-11542P P 19960213
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Patel . <4/28/2004>

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I; A = II, III, etc.; C' = SO3H, OSO3H, OH, COOH; B' = NH, NH, N(C1-6 alkyl); X = Cl, F, IV; U' = SO2, CO, NC(O), NC(S); W' = N(YZ), V, VI; Y = (CH2)n; n = 0-6; m = 0-2; Z = H, CH3, CF3, etc.] and their salts, useful as pharmaceuticals, especially for treating viral infections, particularly infections by respiratory syncytial virus, herpes simplex virus, human immunodeficiency virus, and cytomegalovirus, were prepared Thus, reaction of cyanuric chloride with 4,4'-diaminostilbene-2,2'-

disulfonic acid in the presence of NaOH in dioxane/phosphate buffer solution followed by addition of 3-aminophenyl-N,N-bis(2-carbamoylethyl)sulfonylimine in DMSO afforded 72% I.2Na+ {A = II; C' = H; B' = NH; X = IV; U'W' = 3-SO2N[(CH2)2CONH2]2} which showed IC50 of 0.3 μ G/mL against respiratory syncytial virus growth.

- L7 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1996:425672 CAPLUS
- DN 125:117384
- TI Reactive dyes containing 5-cyano-2,4- or -4,6-dichloropyrimidyl groups and their use
- IN Auerbach, Guenther; Doerr, Markus; Doswald, Paul; Gisler, Markus; Koch, Werner; Moser, Helmut A.; Wald, Roland
- PA Sandoz Ltd., Switz.
- SO U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 627,168, abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO. D	ATE
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				US 1992-899570 A 1	.9920616
				DE 1989-3941620B21	.9891216
				US 1990-627168 1	9901214

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FAN	1992:153821 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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	GB 2239024	B2	19930421	
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	DE 4039866	A1	19910620	DE 1990-4039866 19901213
	DE 4039866	, C2	20031030	
		•	4,	DE 1989-3941620A119891216
	FR 2655994	A1	19910621	FR 1990-15751 19901213
	FR 2655994	B1	19971017	
			•	DE 1989-3941620A 19891216
	ES 2027869	A6	19920616	ES 1990-3193 19901213
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	CH 681984	A	19930630	CH 1990-3970 19901214
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	JP 2955373	B2	19991004	·
				DE 1989-3941620A 19891216
	BR 9100491	Α	19920922	BR 1991-491 19910206
				DE 1989-3941620 19891216

OS MARPAT 125:117384

AB Fiber-reactive compds. of the formula XO2SZ1QZ2NR1R2, and water-soluble salts thereof each cation of which is independently a non-chromophoric cation, and mixts. of such compds. or water-soluble salts, wherein Q is a chromophore-containing radical of a monoazo, disazo, polyazo, formazan, anthraquinone, dioxazine, phenazine, or azomethine dye, which is in metal-free or metal complex form, each of Z1 and Z2 is independently a direct bond or a bridging group which is attached to a carbon atom of an aromatic carbocyclic ring or to a carbon or nitrogen atom of an aromatic heterocyclic ring present in Q, X is vinyl or C2-4-alkylene-Y, wherein Y is hydroxy or a group which can be split off under alkaline conditions, R1 is

H, C1-4-alkyl optionally monosubstituted by hydroxy, halo, cyano, sulfo, sulfato, or carboxy, and Z is the title group are obtained for dyeing or printing hydroxyl- or nitrogen-containing substrates. The reactive dyes have good application and fastness properties. Thus, 4-aminophenyl 2-sulfatoethyl sulfone>6-hydroxyl-4-methyl-1-[3-(methylamino)propyl]-2-pyridone was prepared and condensed with 5-cyano-2,4,6-trichloropyrimidine to give a reactive dye which was fast greenish yellow on cotton.

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ANSWER 9 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
L7
AN
     1996:313785 CAPLUS
DN
     124:336875
TI
     Contrast media for liver imaging
     Krause, Werner; Speck, Ulrich
IN
     Schering A.-G., Germany
PA
SO
     PCT Int. Appl., 34 pp.
     CODEN: PIXXD2
DT
     Patent
     German
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                          APPLICATION NO.
                                                            DATE
     -----
                           _____
                                          -----
PΤ
     WO 9603155
                            19960208
                                          WO 1995-EP2902
                                                            19950721
                      A1
        W: AU, BY, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, SK, UA,
             US, VN
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                           DE 1994-4426439
                                                            19940726
     DE 4426439
                       C1
                            19960229
                                           DE 1994-4426439
                                                            19940726
     AU 9531163
                       A1
                            19960222
                                           AU 1995-31163
                                                            19950721
                                           DE 1994-4426439
                                                            19940726
                                           WO 1995-EP2902
                                                            19950721
     EP 773798
                            19970521
                                           EP 1995-926971
                      A1
                                                            19950721
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                           DE 1994-4426439
                                                            19940726
                                           WO 1995-EP2902
                                                            19950721
     JP 10502936
                       T2
                            19980317
                                           JP 1995-505460
                                                            19950721
                                           DE 1994-4426439
                                                            19940726
                                           WO 1995-EP2902
                                                            19950721
     ZA 9506226
                      Α
                            19960314
                                           ZA 1995-6226
                                                            19950726
                                           DE 1994-4426439
                                                            19940726
OS
     MARPAT 124:336875
AΒ
     The invention concerns the use of a compound comprising at least one
     halogenated, preferably iodated and most preferably tri-iodated, aromatic
     compds., for use in imaging the liver with synchrotron radiation, approx.
     monochromatic x-rays, or x-rays of below a certain wavelength.
     ANSWER 10 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
L7
AN
     1995:227097 CAPLUS
DN
     122:3567
TI
     Safened salicylic acid derivative herbicides.
IN
     Bratz, Matthias; Vogelbacher, Uwe Josef; Rheinheimer, Joachim; Baumann,
     Ernst; Landes, Andreas; Walter, Helmut
PΑ
     BASF A.-G., Germany
SO
     Ger. Offen., 34 pp.
     CODEN: GWXXBX
DT
     Patent
LΑ
     German
FAN.CNT 1
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Patel

	PATENT NO.	KIND DATE	3	APPLICATION NO.	DATE
PΙ	DE 4310220	A1 1994	1006	DE 1993-4310220	19930330
	WO 9422310	A1 1994	1013	WO 1994-EP862	19940318
	W: AU, CA,	HU, JP, KR,	US ·		
	RW: AT, BE,	CH, DE, DK,	ES, FR,	GB, GR, IE, IT, LU	, MC, NL, PT, SE
				DE 1993-4310220	19930330
	AU 9464280	A1 1994	1024	AU 1994-64280	19940318
	•			DE 1993-4310220	19930330
				WO 1994-EP862	19940318
OS	MARPAT 122:3567		•		
GI					

The salicylic acid derivative herbicides I and II [Z = N, CH; Y = O, S, (un)substituted NH; X = O, NR5, NOR5, NNHR5, NNR52; R = Cl, (un)substituted alkyl, alkynyl, alkenyl, etc.; R1 = H, alkyl, succinyliminooxy, etc.; R2,R3 = halo, (halo)alkyl, alkoxy, etc.; R4 = H; RR4 = 1,3-butadien-1,4-yl; R5 = H, alkyl, alkenyl, etc.] are safened with X1aC6H4WCOZ1 or III [X1 = H, NO2, halo, (halo)alkyl, alkoxy; Y1 = NO2, halo, (halo)alkyl, alkoxy; Z1 = (un)substituted OH, SH, NH2; W = divalent 5-membered heterocyclyl; R5 = (alkyl)alkylene; a,b = 1-5]. Thus, the phytotoxicity of I (R = Cl, R1 = ON:CMe2, R2 = R3 = OMe, R4 = H, X = O, Z = CH, Y = S) to barley was alleviated by Et 1-(2,4-dichlorophenyl)-5-isopropylpyrazol-3-ylcarboxylate.

- L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1994:509397 CAPLUS
- DN 121:109397
- TI Preparation of ester derivatives of 4-azasteroids as steroid $5\alpha\text{-reductase}$ inhibitors.
- IN Witzel, Bruce E.; Rasmusson, Gary H.; Tolman, Richard L.; Yang, Shu Shu
- PA Merck and Co., Inc., USA
- SO PCT Int. Appl., 66 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 2
 - PATENT NO.
- KIND DATE
- APPLICATION NO. DATE

ΡI	WO 9323041		WO 1993-US4771 19930519
			, HU, JP, KR, KZ, LK, MG, MN, MW, NO,
		RO, RU, SD, SK, UA	
			, GB, GR, IE, IT, LU, MC, NL, PT, SE,
	BF, BJ,	CF, CG, CI, CM, GA	, GN, ML, MR, NE, SN, TD, TG
			US 1992-886022 A219920520
	AU 9342525	A1 19931213	AU 1993-42525 19930519
	AU 668181	B2 19960426	
			US 1992-886022 A 19920520
			WO 1993-US4771 A 19930519
		A1 19950426	EP 1993-911362 19930519
		B1 20010110	
	R: AT, BE,	CH, DE, DK, ES, FR	, GB, GR, IE, IT, LI, LU, NL, PT, SE
			US 1992-886022 A 19920520
			WO 1993-US4771 W 19930519
	JP 07508039	T2 19950907	JP 1993-503838 19930519
			US 1992-886022 A 19920520
			WO 1993-US4771 W 19930519
	AT 198601	E 20010115	AT 1993-911362 19930519
			US 1992-886022 A 19920520
			WO 1993-US4771 W 19930519
	US 5610162	A 19970311	US 1994-338573 19941117
			US 1992-886022 B219920520
			WO 1993-US4771 W 19930519
	NT FAMILY INFORM	ATION:	
FAN	1997:204394		·
	PATENT NO.		APPLICATION NO. DATE
ΡI	US 5610162	A 19970311	US 1994-338573 19941117
			US 1992-886022 B219920520
			WO 1993-US4771 W 19930519
		A1 19931125	
			, HU, JP, KR, KZ, LK, MG, MN, MW, NO,
		RO, RU, SD, SK, UA	
			, GB, GR, IE, IT, LU, MC, NL, PT, SE,
	BF, BJ,	CF, CG, CI, CM, GA	, GN, ML, MR, NE, SN, TD, TG
0.0	MADDAM 101 1000	0.5	US 1992-886022 A219920520
OS	MARPAT 121:1093	97	
GI			·

(CHR¹)_nXCOR⁴
Me

N
R
R
R
R
R

AB Title compds. [I; a, b = single bonds, R2 = H; or a = single bond, b =

Ι

Patel

double bond, and R2 = null; R1 = H, aryl, alkyl, aralkyl; R3 = H, Me, Et, OH, NH2, SMe; n = 0-10; X = O, S; R4 = (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, amino, OH, etc.] were prepared as inhibitors of 5α -reductase and isoenzymes thereof. The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp (no data). Thus, 20-hydroxy-4-methyl- 5α -4-azapregnan-3-one, 11-ethylthioundecanoic acid, DMAP, and DCC were stirred in CH2Cl2 at room temperature to give 20-[11-(ethylthio)undecanoyloxy]-4-methyl- 5α -4-azapregnan-3-one.

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ANSWER 12 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
L7
    1994:245602 CAPLUS
ΔN
DN
     120:245602 .
TI
     Preparation of 17-ethers and thioethers of 4-aza-steroids as steroid
     reductase inhibitors
     Witzel, Bruce E.; Tolman, Richard L.; Rasmusson, Gary H.; Bakshi, Raman
IN
     K.; Yang, Shu Shu
PA
     Merck and Co., Inc., USA
     PCT Int. Appl., 68 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 2
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
                     ----
                                         -----
    WO 9323040 A1 19931125
PΙ
                                         WO 1993-US4746 19930519
        W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO,
            NZ, PL, RO, RU, SD, SK, UA, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
            BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                         US 1992-886031 A219920520
    AU 9342521
                           19931213
                      A1
                                         AU 1993-42521
                                                         19930519
    AU 668180
                      B2
                           19960426
                                         US 1992-886031 A 19920520
                                         WO 1993-US4746 A 19930519
    EP 641204
                      A1
                           19950308
                                         EP 1993-911358 19930519
    EP 641204
                      В1
                           20000816
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                                         US 1992-886031 A 19920520
                                         WO 1993-US4746 W 19930519
    JP 07508038
                      T2
                           19950907
                                         JP 1993-503831
                                                         19930519
                                         US 1992-886031 A 19920520
                                         WO 1993-US4746 W 19930519
    AT 195530
                      Ε
                           20000915
                                         AT 1993-911358
                                                          19930519
                                         US 1992-886031 A 19920520
                                         WO 1993-US4746 W 19930519
    ES 2148229
                      Т3
                           20001016
                                         ES 1993-911358
                                                        19930519
                                         US 1992-886031 A 19920520
    US 5536727
                           19960716
                                         US 1994-338572 19941117
                                         US 1992-886031 B219920520
                                         WO 1993-US4746 W 19930519
PATENT FAMILY INFORMATION:
    1996:469929
    PATENT NO.
                     KIND
                          DATE
                                         APPLICATION NO. DATE
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PΙ

US 5536727

Α

19960716

US 1994-338572 19941117 US 1992-886031 B219920520 WO 1993-US4746 W 19930519 WO 9323040 A1 19931125 WO 1993-US4746 19930519
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
US 1992-886031 A219920520

OS MARPAT 120:245602

GΙ

AB Title compds. [I; a, b both = single bonds, and R2 = H; or a = double bond, b = single bond, and R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, (aryl)alkyl; R3 = H, Me, Et, OH, NH2, SMe; R4 = (substituted) alkyl, aryl, heterocyclyl; Z = XR4, (CHR1)nXR4; X = O, S, SO, SO2], were prepared as inhibitors of steroid 5α -reductase enzymes 1 and 2 (no data). The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp. Thus, 17-hydroxymethyl-4-methyl- 5α -4-azaandrostan-3-one and diphenyldiazomethane in CH2Cl2 were treated dropwise with BF3.Et2O to give 17-diphenylmethoxymethyl-4-methyl- 5α -4-azaandrostan-3-one.

L7 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1993:428157 CAPLUS

DN 119:28157

TI Preparation of 4-oxoquinazolines and triazolines as herbicides

IN Barton, John Edward Duncan; Cartwright, David

PA Imperial Chemical Industries PLC, UK

Ι

SO Brit. UK Pat. Appl., 29 pp. CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND DATE		APPLICATION NO.	DATE	
					~	
ΡI	GB 2257970	A1	19930127	GB 1992-15645	19920723	
				GB 1991-16207	19910726	

OS MARPAT 119:28157

GI

Patel

<4/28/2004>

- Title compds. [I; B = N, CR2; E = CR3R4XR5; R = (hetero)aryl; W = O, NR1; AB R1 = H, alkyl; R2 = H, (halo)alkyl, halo, cyano, etc.; R3, R4 = halo, alkyl, alkenyl, NH2, etc.; R5 = CO2H, alkoxycarbonyl, CONH2, cyano, CH2OH, etc.; X = (CH2)n, CH:CH, CH(OH)CH2, COCH2, etc.; n = 0-2] were prepared Thus, 2-amino-5-(2-chloro-4-trifluoromethylphenoxy)benzamide was cyclocondensed with (CF3CO)20 and the product N-alkylated with ICH2CO2Et to give title compound II which gave 90-100% control of 5 weeds, e.g., Abutilon theophrasti, with no damage to rice or winter wheat at 0.25 kg/ha postemergent.
- L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- ΑN 1992:13416 CAPLUS
- DN116:13416
- Pressure- and heat-sensitive recording materials with good sensitivity, TIstorability and image stability
- ΙN Sano, Masajiro; Takashima, Masanobu; Satomura, Masato
- PΑ Fuji Photo Film Co., Ltd., Japan
- SO. Jpn. Kokai Tokkyo Koho, 11 pp. CODEN: JKXXAF
- DТ Patent
- Japanese LΑ
- FAN.CNT 1

PΙ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				
JP 03142277	A2	19910618	JP 1989-282319	19891030
			JP 1989-282319	19891030

OS MARPAT 116:13416

The title materials utilizes coloration by contact between electron-donating leuco dye ArlR1CH:CR2:CH:CHR3CR4R5Ar2 (Arl, Ar2 = amine residue-containing aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-containing alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without containing heteroatom) and electron-accepting compound

=> log y		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	137.50	560.91
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-15.25	-15.25

STN INTERNATIONAL LOGOFF AT 10:51:26 ON 28 APR 2004